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DICTIONARY FILE UPDATES:    3 SEP 2007  HIGHEST RN 945955-20-4

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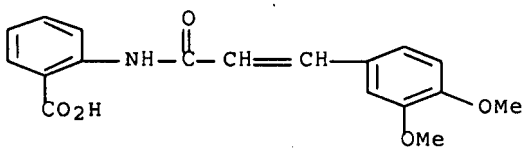
=> tranilast/cn
L1            1 TRANILAST/CN

=> d l1

L1   ANSWER 1 OF 1  REGISTRY  COPYRIGHT 2007 ACS on STN
RN   53902-12-8  REGISTRY
ED   Entered STN:  16 Nov 1984
CN   Benzoic acid, 2-[[3-(3,4-dimethoxyphenyl)-1-oxo-2-propen-1-yl]amino]-  (CA
     INDEX NAME)
OTHER CA INDEX NAMES:
CN   Benzoic acid, 2-[[3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]- (9CI)
OTHER NAMES:
CN   2-(3,4-Dimethoxycinnamoylamino)benzoic acid
CN   MK 341
CN   N 5'
CN   N-(3',4'-Dimethoxycinnamoyl)anthranilic acid
```

CN N-(3,4-Dimethoxycinnamoyl)anthranilic acid
CN Rizaben
CN Tranilast
CN Tranpro
MF C18 H17 N O5
CI COM
LC STN Files: ADISINSIGHT, ADISNEWS, ANABSTR, BEILSTEIN*, BIOSIS, BIOTECHNO, CA, CAPLUS, CASREACT, CBNB, CHEMCATS, CHEMLIST, CIN, CSCHEM, DDFU, DRUGU, EMBASE, IFICDB, IFIPAT, IFIUDB, IMSCOSEARCH, IMSDRUGNEWS, IMSPATENTS, IMSRESEARCH, IPA, MEDLINE, MRCK*, PHAR, PROMT, PROUSDDR, PS, RTECS*, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL
(*File contains numerically searchable property data)
Other Sources: WHO



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

575 REFERENCES IN FILE CA (1907 TO DATE)
9 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
577 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> fil caplus medline bisis ps drugu
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=> s l1
L2        1516 L1

=> s stability
L3     1076956 STABILITY

=> s l2 and l3
L4          14 L2 AND L3


=> d l4 ibib abs 1-14

L4   ANSWER 1 OF 14  CAPLUS  COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER:         2007:83515  CAPLUS Full-text
DOCUMENT NUMBER:          146:190619
TITLE:                    Polymer coatings containing drug powder of controlled
                          morphology
INVENTOR(S):              Taylor, Doug; McClain, Jim; Smoke, Clint; Cole, Mike;
                          Deyoung, James
PATENT ASSIGNEE(S):       Micell Technologies, Inc., USA
SOURCE:                   PCT Int. Appl., 107pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   2
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
     ---------------      ----   --------    --------------------    --------
     WO 2007011707         A2    20070125    WO 2006-US27321         20060714
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP,
             KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN,
             MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU,
             SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG,
             US, UZ, VC, VN, ZA, ZM, ZW
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
             CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
             GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM
PRIORITY APPLN. INFO.:                    US 2005-699650P     P  20050715
                                          US 2005-752338P     P  20051220
                                          US 2006-771066P     P  20060207
                                          US 2006-771725P     P  20060208
                                          US 2006-745731P     P  20060426
                                          US 2006-745733P     P  20060426
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AB A method for depositing a coating comprising a polymer and pharmaceutical agent on a substrate, comprising the following steps: discharging at least one pharmaceutical agent in a therapeutically desirable morphol. in dry powder form through a first orifice; discharging at least one polymer in dry powder form through a second orifice; depositing the polymer and/or pharmaceutical particles onto said substrate, wherein an elec. potential is maintained between the substrate and the pharmaceutical and/or polymer particles, thereby forming said coating; and sintering said coating under conditions that do not substantially modify the morphol. of said pharmaceutical agent. Dry powder rapamycin was coated on an elec. charged 316 stainless steel metal coupon by the above method. The coupon was covered in a relatively even distribution of

powdered material. X-ray diffraction confirmed that the powdered material was largely crystalline in nature as deposited on the metal coupon.

L4 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:1174169 CAPLUS Full-text
DOCUMENT NUMBER: 145:477935
TITLE: Aqueous ophthalmic solutions containing tranilast
INVENTOR(S): Uetake, Nobuhisa; Sueishi, Toshihiko
PATENT ASSIGNEE(S): Nihon Tenganyaku Kenkyusho Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 15pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2006306765	A	20061109	JP 2005-129865	20050427

PRIORITY APPLN. INFO.: JP 2005-129865 20050427
AB This invention relates to tranilast eye drops with improvement in eye irritation and a long-term solubilization stability in a low temperature. A molar ratio of total metal ions vs. tranilast in the solution is 0 - ≤ 0.4. The eye drops are free of surfactants.

L4 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:629712 CAPLUS Full-text
DOCUMENT NUMBER: 145:70128
TITLE: Aqueous formulations of tranilast
INVENTOR(S): Kiyobayashi, Yuka; Matsumoto, Eri
PATENT ASSIGNEE(S): Rohto Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 18 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2006169193	A	20060629	JP 2004-366396	20041217

PRIORITY APPLN. INFO.: JP 2004-366396 20041217
AB This invention relates to tranilast-contg. aq. solns. which remain stable in plastic containers during storage. The invention solns. comprise (1) tranilast or salts thereof, (2) sorbic acid or salts thereof, and (3) EDTA or salts thereof. The solns. may comprise monoterpenes selected from the group consisting of menthol, camphor, borneol, cineole, anethole, limonene, eugenol, geraniol, pinene, phellandrene, linalool, citronellol, citronellal, menthone, and carvone.

L4 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:472271 CAPLUS Full-text
DOCUMENT NUMBER: 141:28671
TITLE: Stick-type aqueous preparations for treatment of skin diseases
INVENTOR(S): Yasuda, Yoichi; Ogawa, Atsuko
PATENT ASSIGNEE(S): Noevir Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004161625	A	20040610	JP 2002-326339	20021111
PRIORITY APPLN. INFO.:			JP 2002-326339	20021111

AB Title prepns., which are applied to the skin without soiling fingers, contain active ingredients, water-soluble polyols, higher fatty acid salts, water-soluble polymers, and water. Thus, an emulsion containing glycerin, 1,3-butylene glycol, carrageenan, xanthan gum, NaOH, palmitic acid, stearic acid, and clotrimazole was molded to give a skin-moisturizing nonirritating stick, which showed good storage stability at 50° for ≥1 mo.

L4 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:636457 CAPLUS Full-text
DOCUMENT NUMBER: 137:145632
TITLE: Aqueous compositions containing tranilast for external application
INVENTOR(S): Ito, Koichi; Matsumoto, Tadahiro; Sugiyama, Sachiyo; Suzuki, Megumi
PATENT ASSIGNEE(S): Nippon Eye Drop Kenkyusho K. K., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002234837	A	20020823	JP 2001-32648	20010208
PRIORITY APPLN. INFO.:			JP 2001-32648	20010208

AB The invention relates to an aq. compn. for external application contg. tranilast as an active ingredient and an aqueous base component, wherein the aqueous base component contains polyethylene glycol, and wherein the composition further contain solubilizing agent. A liquid composition (pH = 5.76) containing tranilast 5, macrogol 200 88.45, diisopropanol amine 2, di-Bu hydroxytoluene 0.5, benzyl alc. 4, citric acid anhydride 0.05 % was prepared The composition showed improved storage stability, tranilast skin permeability, and decreased skin irritation in rabbits.

L4 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:932476 CAPLUS Full-text
DOCUMENT NUMBER: 136:58822
TITLE: Stable aqueous compositions containing tranilast
INVENTOR(S): Sawada, Takashi; Nakada, Saori
PATENT ASSIGNEE(S): Sawai Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001354557	A	20011225	JP 2000-177777	20000614

PRIORITY APPLN. INFO.: JP 2000-177777 20000614

AB An aq. soln. comprising tranilast or salts thereof and PVP, is mixed with Me cellulose and/or hydroxypropyl Me cellulose to improve the stability. An eye drop solution contained tranilast 0.5, boric acid 1.3, borax 0.75, PVP 3, Na edetate 0.01, Me cellulose 0.01, methylparaben 0.05, ethylparaben 0.02, and distilled water q.s. to 100 %.

L4 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:326242 CAPLUS Full-text
DOCUMENT NUMBER: 134:344584
TITLE: Solubilization of tranilast and tranilast preparations with good solution stability
INVENTOR(S): Noto, Mitsuru; Oguro, Akira; Okamoto, Tomoyuki; Tatekawa, Rena
PATENT ASSIGNEE(S): Toa Medicine Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001122776	A	20010508	JP 1999-303298	19991026

PRIORITY APPLN. INFO.: JP 1999-303298 19991026

AB Tranilast (I) or its pharmaceutically acceptable salts are dissolved in pharmaceutical prepns. with alkanolamines. The prepns. may be ophthalmic solns., nasal solns., injections, emulsions, ointments, or topical liqs. An ophthalmic solution (pH 7.6) containing 0.5% I and monoethanolamine showed 99.8% residual I after 1-mo storage at 60°.

L4 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1996:311689 CAPLUS Full-text
DOCUMENT NUMBER: 124:325395
TITLE: An aqueous nasal suspension comprising cyclodextrin
INVENTOR(S): Kimura, Masako; Morita, Yasushi; Fukushi, Kunihiro
PATENT ASSIGNEE(S): Senju Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 10 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 709099	A2	19960501	EP 1995-114715	19950919
EP 709099	A3	19960724		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
AU 9532905	A	19960418	AU 1995-32905	19950926
CA 2159288	A1	19960329	CA 1995-2159288	19950927
JP 08151332	A	19960611	JP 1995-274743	19950927

PRIORITY APPLN. INFO.: JP 1994-233267 A 19940928

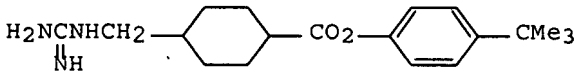
AB This invention relates to an aq. nasal suspension comprising a cyclodextrin and hardly soluble drug whose one part by weight requires 1000 or more parts by weight of water to yield a homogeneous mixture at 25° under one atmospheric pressure and whose stability constant in relation to the cyclodextrin calculated by solubility method is not greater than 1000. The aqueous nasal suspension of this invention enhances the pharmacol. efficacy of the hardly soluble drug in water, improves its retention in nasal mucosa, and prolongs the action of the drug so that the frequency of administration can be decreased. Therefore, this nasal suspension can be used as a meritorious topical nasal preparation assuring improved patient compliance. An anti-inflammatory nasal suspension contained loteprednol etabonate 0.5, α-cyclodextrin 5.0, NaCl 0.9, NaOAc 0.1, Na edetate 0.02, benzalkonium chlorides 0.005 g, HCl q.s. to pH 5.0, and sterilized pure water to 100 mL.

L4 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1996:209937 CAPLUS Full-text
DOCUMENT NUMBER: 124:242363
TITLE: Stable pharmaceutical lipid emulsions containing oils and emulsifiers and lecithins
INVENTOR(S): Suzuki, Hidekazu; Yamazaki, Satoshi; Naito, Yoshikazu; Endo, Kenji; Oguma, Touru; Maeda, Makoto
PATENT ASSIGNEE(S): Wakamoto Pharmaceutical Co., Ltd., Japan
SOURCE: Can. Pat. Appl., 77 pp.
CODEN: CPXXEB
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 2153553	A1	19960114	CA 1995-2153553	19950710
US 5693337	A	19971202	US 1995-500087	19950710
EP 700678	A1	19960313	EP 1995-110923	19950712
R: DE, FR, GB, IT				
JP 08081360	A	19960326	JP 1995-197896	19950712
PRIORITY APPLN. INFO.:			JP 1994-183045 A	19940713

AB A lipid emulsion which comprises (A) an oil component, (B) an emulsifying agent containing yolk lecithin and/or soybean lecithin, and (C) water, wherein the lipid emulsion further comprises citric acid or a pharmaceutically acceptable salt thereof and at least one member selected from the group consisting of methionine, phenylalanine, serine, histidine and pharmaceutically acceptable salts thereof, provided that is does not simultaneously contain methionine and phenylalanine. The emulsion does not change of color and formation of oil drops associated with the conventional natural lecithin-containing lipid emulsions due to the synergistic effect of the foregoing additives. The drug containing lipid emulsion is also excellent in storage stability and thus the foregoing lipid emulsion can be applied to drugs such as injections, eye drops, nasal drips, lotions or liniments, inhalants and drugs for oral administration or cosmetics such as humectants. A solution of 0.012 g of fluorometholone in 20 mL of ethanol was added to a solution of 20 mL hexane:ethanol (10:1) containing 0.54 g of yolk lecithin and 0.06 g of yolk phosphatidylethanolamine and mixed, followed by evaporation of solvent to obtain a lipid film. To the lipid film was added 5.4 g of soybean oil and 94 mL of 2% glycerin aqueous solution followed by vigorous stirring through shaking to carry out preliminary emulsification. The preliminarily emulsified liquid was passed through microfluidizer 10 times under a pressure of 750 kg/cm2 to emulsify the liquid, the pH value of the emulsified liquid was adjusted to 6.5-7.5 to give a milk white stock lipid emulsion.

L4 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1985:17425 CAPLUS Full-text
DOCUMENT NUMBER: 102:17425
TITLE: Membrane stabilizing action of NCO-650 and its congeners
AUTHOR(S): Arakawa, Kasumi; Tonooka, Mayumi; Goto, Hiroshi; Sakamoto, Koji
CORPORATE SOURCE: Med. Cent., Univ. Kansas, Kansas City, KS, 66103, USA
SOURCE: Japanese Journal of Pharmacology (1984), 36(3), 311-18
CODEN: JJPAAZ; ISSN: 0021-5198
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



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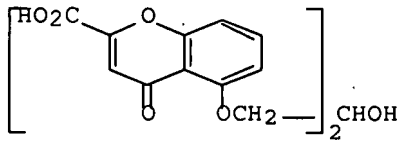

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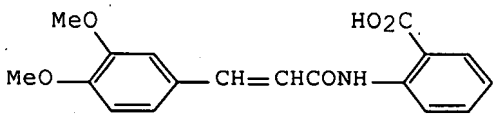

III

AB NCO-650 (I) [83373-31-3] and its congeners and two other antiallergics, cromoglycic acid (II) [16110-51-3] and tranilast (III) [53902-12-8], were studied to determine the degree of protection of rat erythrocytes against hypotonic hemolysis, the reduction of the surface tension of a dipalmitoylphosphatidylcholine (DPPC) monolayer and the depression of the phase-transition temperature of DPPC liposome bilayers. NCO-650 was found to show the greatest hemolysis protection, reduction of the surface tension and depression of the phase-transition temperature, indicating that it possesses a significant affinity to cell membranes and a significant ability to stabilize cell membranes. Cromoglycate and tranilast showed neither cell membrane affinity nor hemolysis protection, although they inhibit histamine release from mast cells like NCO-650 and its congeners. The significant membrane stabilizing action of NCO-650 must be related, at least in part, to its extraordinarily high lipid solubility

L4 ANSWER 11 OF 14 MEDLINE on STN
ACCESSION NUMBER: 2000212203 MEDLINE Full-text
DOCUMENT NUMBER: PubMed ID: 10748715
TITLE: Effect of UV-absorbing agents on photodegradation of tranilast in oily gels.
AUTHOR: Hori N; Fujii M; Ikegami K; Momose D; Saito N; Matsumoto M
CORPORATE SOURCE: Pharmaceutical Research Laboratories, Kissei Pharmaceutical Co., Ltd., Nagano, Japan.

SOURCE: Chemical & pharmaceutical bulletin, (1999 Dec) Vol. 47, No. 12, pp. 1713-6.
Journal code: 0377775. ISSN: 0009-2363.
PUB. COUNTRY: Japan
DOCUMENT TYPE: (IN VITRO)
Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200005
ENTRY DATE: Entered STN: 12 May 2000
Last Updated on STN: 12 May 2000
Entered Medline: 2 May 2000

AB Tranilast (TL) oily gels containing UV-absorbing agents (UV absorber) were prepared, and the effect of the agents against photodegradation of TL was investigated. When 0.1% TL oily gel without UV absorber was exposed to light, TL was photochemically decomposed to the extent of 74.1% of its initial content at the end of the first hour. Although there were differences in the preventive effect on photodegradation of TL depending on the UV absorbers employed, 2-(2-benzotriazolyl)-p-cresol (BTPC) was the most effective absorber. The addition of UV absorbers to the oily gel did not affect the release of TL from the gel, the skin permeation, or the skin concentration of TL following topical application. UV absorbers added to TL oily gel penetrated into skin; however, their concentration in skin was similar to that following application of commercial sunscreen. These results suggest that the addition of UV absorbers to the oily gel of TL may be useful in preventing photodegradation of TL in the gel.

L4 ANSWER 12 OF 14 BIOSIS COPYRIGHT (c) 2007 The Thomson Corporation on STN
ACCESSION NUMBER: 1993:458818 BIOSIS Full-text
DOCUMENT NUMBER: PREV199396103718
TITLE: Effects of antiallergic drugs on catecholamine secretion from bovine adrenal chromaffin cells.
AUTHOR(S): Tachikawa, Eiichi [Reprint author]; Kondo, Yukiko; Takahashi, Saburo; Kashimoto, Takeshi; Mizuma, Kenzo
CORPORATE SOURCE: Dep. Pharmacol., Sch. Med., Iwate Med. Univ. Morioka 020, Japan
SOURCE: Research Communications in Chemical Pathology and Pharmacology, (1993) Vol. 81, No. 1, pp. 3-14.
CODEN: RCOCB8. ISSN: 0034-5164.
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 5 Oct 1993
Last Updated on STN: 6 Oct 1993

AB The effects of the antiallergic drugs, oxatomide, ibudilast, and tranilast on catecholamine secretion from bovine adrenal chromaffin cells stimulated by acetylcholine were examined. Both oxatomide (2-100 mu-M) and ibudilast (10-100 mu-M) resulted in the inhibition of the secretion of catecholamines from the cells in a concentration-dependent manner, whereas tranilast (100 nM-100 mu-M) did not. Oxatomide and ibudilast also reduced the acetylcholine-induced 45Ca-2+ influx into the cells. The concentration-response curves for oxatomide and ibudilast inhibiting 45Ca-2+ influx were quite similar to those for drugs inhibiting the secretion. Oxatomide similarly reduced both high K+-induced 45Ca-2+ influx and secretion. However, the oxatomide inhibition of acetylcholine-induced secretion was not overcome by increasing the concentrations of acetylcholine or Ca-2+. Oxatomide also inhibited histamine-induced secretion and the inhibition was almost overcome by increasing histamine concentration. These results indicate that oxatomide and ibudilast inhibit catecholamine secretion from bovine adrenal chromaffin cells

stimulated by acetylcholine. The inhibition is due to the suppression of Ca-2+ influx into the cells through voltage-dependent Ca-2+ channels. The results further suggest that oxatomide competitively antagonizes histamine receptors and inhibits the secretion stimulated by histamine.

L4 ANSWER 13 OF 14 DRUGU COPYRIGHT 2007 THE THOMSON CORP on STN
ACCESSION NUMBER: 2000-09049 DRUGU G Full-text
TITLE: Effect of UV-absorbing agents on photodegradation of tranilast in oily gels.
AUTHOR: Hori N; Fujii M; Ikegami K; Momose D; Saito N; Matsumoto M
CORPORATE SOURCE: Kissei; Showa-Coll.Pharm.Sci.
LOCATION: Nagano; Tokyo, Jap.
SOURCE: Chem.Pharm.Bull. (47, No. 12, 1713-16, 1999) 5 Fig. 1 Tab. 17 Ref.
CODEN: CPBTAL ISSN: 0009-2363
AVAIL. OF DOC.: Pharmaceutical Research Laboratories, Kissei Pharmaceutical Co. Ltd., 4365-1 Kashiwabara, Hotaka-machi, Nagano 399-8304, Japan.
LANGUAGE: English
DOCUMENT TYPE: Journal
FIELD AVAIL.: AB; LA; CT
FILE SEGMENT: Literature
AN 2000-09049 DRUGU G Full-text
AB The use of UV absorbing agents for preventing the photodegradation of tranilast (Kissei) in oily gel formulations following application to the skin, has been investigated. The UV absorbers ethyl-p-aminobenzoate (EPABA), 2-ethylhexyl-p-dimethylaminobenzoate (DABAO), 2-hydroxy-4-methoxybenzophenone (HMBP), 2-(2-benzotriazolyl)-p-cresol (BTPC, all Tokyo-Kasei), and 1-(4-tert-butylphenyl)-3-(4- methoxyphenyl)propane-1,3-diol (P1789, Nikko) were examined. BTPC and P1789 effectively prevented tranilast photodegradation. In-vitro skin permeation studies were also performed.
ABEX A 0.1% tranilast oily gel was decomposed to 74.1% of the initial tranilast concentration following 1 hr exposure to light. Addition of 3% BTPC or 3% P1789 showed an effective inhibition of photodegradation: 3% BTPC reduced the amount of photodegradation products to less than 2.5% after 1 hr irradiation. In skin permeation studies using excised pig skin, the skin permeation and skin concentrations of tranilast were not affected by the presence of BTPC or P1789. The skin concentrations of BTPC and P1789 were considered to be at safe levels. Therefore, the use of UV absorbers, particularly BTPC, may be useful for reducing tranilast photodegradation following administration to the skin. (E82)

L4 ANSWER 14 OF 14 DRUGU COPYRIGHT 2007 THE THOMSON CORP on STN
ACCESSION NUMBER: 1998-19054 DRUGU P G Full-text
TITLE: In vitro release of tranilast from oily gels and penetration of the drug into Yucatan micropig skin.
AUTHOR: Hori N; Fujii M; Yamanouchi S; Miyagi M; Saito N; Matsumoto M
CORPORATE SOURCE: Kissei
LOCATION: Nagano; Tokyo, Jap.
SOURCE: Biol.Pharm.Bull. (21, No. 3, 300-03, 1998) 6 Fig. 1 Tab. 21 Ref.
CODEN: BPBLEO ISSN: 0918-6158
AVAIL. OF DOC.: Pharmaceutical Laboratories Kissei Pharmaceutical Co., Ltd., 4365-1 Kashiwabara, Hotaki-machi, Nagano 399-8304, Japan.
LANGUAGE: English
DOCUMENT TYPE: Journal
FIELD AVAIL.: AB; LA; CT
FILE SEGMENT: Literature
AN 1998-19054 DRUGU P G Full-text

AB The release of tranilast (TL, Kissei) was greater from gels containing hydrogenated soybean phospholipids (HSL, Lecinol-S-10) and octylisononanoate (IOIN, Kokyu-Alcohol-Kogyo) than from gels containing HSL and isocetyl isostearate (ICIS, Nikko). When oily gels were used, the penetration into Yucatan micropig skin in-vitro was rapidly increased compared with the level obtained using TL suspensions. TL in ICIS gel was more stable at room temperature than TL in IOIN gel. The results suggest that oily gels may be useful for the topical application of TL.

ABEX The release rate of IL from the IOIN gel increased depending on the TL content, which ranged from 0.1-0.4%. In the case of the oily gels containing ICIS as the fatty-acid ester (ICIS gel), TL was released in a manner similar to that from the IOIN gel. The release rate of TL was about half that from the IOIN gel at the same TL concentration. When stored at room temperature, the rate of TL release from 0.1-0.3% IOIN gel did not change, whereas that from 0.4% IOIN gel decreased for the 1st 2 wk. The rate of TL release from 0.1% and 0.2% ICIS gels did not change for 3 mth. In Yucatan minipig skin, the permeation rate of TL from IOIN suspension was 0.69 ug.sq.cm.hr; with 0.1% IOIN gel, the permeation rate was enhanced about 2-fold to 1.56 ug/sq.cm.hr. The permeation of TL from ICIS preparations was lower than from IOIN preparations. The concentration of TL in the epifdermis obtained with 0.1% IOIN gel increased to 2350 ug/g at 18 hr after application. Levels in the dermis were about 2-fold higher with the IOIN gel than with the suspension and were higher than those obtained with the ICIS gel. (E61/MB)

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http://www.cas.org/support/stngen/stndoc/properties.html

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=> S 53902-12-8/RN

L5            1 53902-12-8/RN

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L5   ANSWER 1 OF 1  REGISTRY  COPYRIGHT 2007 ACS on STN
RN   53902-12-8  REGISTRY
CN   Benzoic acid, 2-[[3-(3,4-dimethoxyphenyl)-1-oxo-2-propen-1-yl]amino]-  (CA
     INDEX NAME)
OTHER CA INDEX NAMES:
CN   Benzoic acid, 2-[[3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]- (9CI)
OTHER NAMES:
CN   2-(3,4-Dimethoxycinnamoylamino)benzoic acid
CN   MK 341
CN   N 5'
CN   N-(3',4'-Dimethoxycinnamoyl)anthranilic acid
CN   N-(3,4-Dimethoxycinnamoyl)anthranilic acid
CN   Rizaben
CN   Tranilast
CN   Tranpro
MF   C18 H17 N O5
CI   COM
LC   STN Files:   ADISINSIGHT, ADISNEWS, ANABSTR, BEILSTEIN*, BIOSIS,
       BIOTECHNO, CA, CAPLUS, CASREACT, CBNB, CHEMCATS, CHEMLIST, CIN, CSCHEM,
       DDFU, DRUGU, EMBASE, IFICDB, IFIPAT, IFIUDB, IMSCOSEARCH, IMSDRUGNEWS,
       IMSPATENTS, IMSRESEARCH, IPA, MEDLINE, MRCK*, PHAR, PROMT, PROUSDDR, PS,
       RTECS*, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL
         (*File contains numerically searchable property data)
     Other Sources:   WHO
DT.CA  CAplus document type:  Conference; Journal; Patent
RL.P   Roles from patents:  BIOL (Biological study); PREP (Preparation); PROC
       (Process); PRP (Properties); RACT (Reactant or reagent); USES (Uses)
RLD.P  Roles for non-specific derivatives from patents:  BIOL (Biological
       study); PREP (Preparation); USES (Uses)
RL.NP  Roles from non-patents:  ANST (Analytical study); BIOL (Biological
       study); PREP (Preparation); PROC (Process); PRP (Properties); RACT
       (Reactant or reagent); USES (Uses)
RLD.NP Roles for non-specific derivatives from non-patents:  BIOL (Biological
       study)
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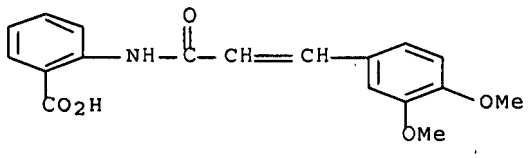

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**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

             575 REFERENCES IN FILE CA (1907 TO DATE)
               9 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
             577 REFERENCES IN FILE CAPLUS (1907 TO DATE)


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'ABS' IS NOT A VALID FORMAT FOR FILE 'REGISTRY'


L5   ANSWER 1 OF 1  REGISTRY  COPYRIGHT 2007 ACS on STN
RN   53902-12-8  REGISTRY
ED   Entered STN:  16 Nov 1984
CN   Benzoic acid, 2-[[3-(3,4-dimethoxyphenyl)-1-oxo-2-propen-1-yl]amino]-  (CA
     INDEX NAME)
OTHER CA INDEX NAMES:
CN   Benzoic acid, 2-[[3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]- (9CI)
OTHER NAMES:
CN   2-(3,4-Dimethoxycinnamoylamino)benzoic acid
CN   MK 341
CN   N 5'
CN   N-(3',4'-Dimethoxycinnamoyl)anthranilic acid
CN   N-(3,4-Dimethoxycinnamoyl)anthranilic acid
CN   Rizaben
CN   Tranilast
CN   Tranpro
MF   C18 H17 N O5
CI   COM
LC   STN Files:   ADISINSIGHT, ADISNEWS, ANABSTR, BEILSTEIN*, BIOSIS,
       BIOTECHNO, CA, CAPLUS, CASREACT, CBNB, CHEMCATS, CHEMLIST, CIN, CSCHEM,
       DDFU, DRUGU, EMBASE, IFICDB, IFIPAT, IFIUDB, IMSCOSEARCH, IMSDRUGNEWS,
       IMSPATENTS, IMSRESEARCH, IPA, MEDLINE, MRCK*, PHAR, PROMT, PROUSDDR, PS,
       RTECS*, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL
         (*File contains numerically searchable property data)
     Other Sources:   WHO
DT.CA  CAplus document type:  Conference; Journal; Patent
RL.P   Roles from patents:  BIOL (Biological study); PREP (Preparation); PROC
       (Process); PRP (Properties); RACT (Reactant or reagent); USES (Uses)
RLD.P  Roles for non-specific derivatives from patents:  BIOL (Biological
       study); PREP (Preparation); USES (Uses)
RL.NP  Roles from non-patents:  ANST (Analytical study); BIOL (Biological
       study); PREP (Preparation); PROC (Process); PRP (Properties); RACT
       (Reactant or reagent); USES (Uses)
RLD.NP Roles for non-specific derivatives from non-patents:  BIOL (Biological
       study)

Ring System Data

Elemental|Elemental| Size of |Ring System|   Ring    |   RID
Analysis |Sequence |the Rings|  Formula  |Identifier|Occurrence
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EA	ES	SZ	RF	RID	Count
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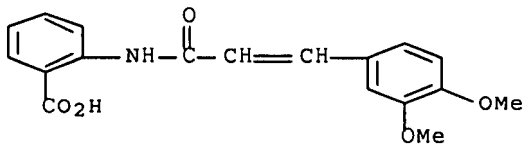

Experimental Properties (EPROP)

PROPERTY (CODE)	VALUE	CONDITION	NOTE
Density (DEN)	1.305 g/cm**3		(1) CAS
Median Lethal Dose (LD50)	3630 mg/kg	Orgn: rat Rte: subcutaneous	(2) APC
Median Lethal Dose (LD50)	2630 mg/kg	Orgn: mouse Rte: subcutaneous	(2) APC
Median Lethal Dose (LD50)	1600 mg/kg	Orgn: rat Rte: oral	(2) APC
Median Lethal Dose (LD50)	780 mg/kg	Orgn: mouse Rte: oral	(2) APC
Median Lethal Dose (LD50)	410 mg/kg	Orgn: mouse Rte: intraperitoneal	(2) APC
Median Lethal Dose (LD50)	405 mg/kg	Orgn: rat Rte: intraperitoneal	(2) APC
Melting Point (MP)	212 deg C		(3) SRC
Melting Point (MP)	211-213 deg C		(2) APC

(1) Paneque, A.; Revista Mexicana de Fisica 1992 V38(6) P886-90 CAPLUS
(2) "Drugs - Synonyms and Properties" data were obtained from Ashgate Publishing Co. (US) CAPLUS
(3) "PhysProp" data were obtained from Syracuse Research Corporation of Syracuse, New York (US)

Predicted Properties (PPROP)

PROPERTY (CODE)	VALUE	CONDITION	NOTE
Bioconc. Factor (BCF)	1191.89	pH 1 25 deg C	(1)
Bioconc. Factor (BCF)	1160.17	pH 2 25 deg C	(1)
Bioconc. Factor (BCF)	886.25	pH 3 25 deg C	(1)
Bioconc. Factor (BCF)	263.92	pH 4 25 deg C	(1)
Bioconc. Factor (BCF)	33.63	pH 5 25 deg C	(1)
Bioconc. Factor (BCF)	4.21	pH 6 25 deg C	(1)
Bioconc. Factor (BCF)	1.19	pH 7 25 deg C	(1)
Bioconc. Factor (BCF)	1.0	pH 8 25 deg C	(1)
Bioconc. Factor (BCF)	1.0	pH 9 25 deg C	(1)
Bioconc. Factor (BCF)	1.0	pH 10 25 deg C	(1)
Boiling Point (BP)	585.6+/-50.0 deg C	760 Torr	(1)
Density (DEN)	1.299+/-0.06 g/cm**3	760 Torr	(1)
Enthalpy of Vap. (HVAP)	92.00+/-3.0 kJ/mol	760 Torr	(1)

Flash Point (FP)	307.9+/-30.1 deg C		(1)
Freely Rotatable Bonds (FRB)	6		(1)
H acceptors (HAC)	6		(1)
H donors (HD)	2		(1)
Hydrogen Donors/Acceptors Sum (HDAS)	8		(1)
Koc (KOC)	5522.56	pH 1 25 deg C	(1)
Koc (KOC)	5375.62	pH 2 25 deg C	(1)
Koc (KOC)	4106.42	pH 3 25 deg C	(1)
Koc (KOC)	1222.86	pH 4 25 deg C	(1)
Koc (KOC)	155.81	pH 5 25 deg C	(1)
Koc (KOC)	19.52	pH 6 25 deg C	(1)
Koc (KOC)	5.51	pH 7 25 deg C	(1)
Koc (KOC)	4.11	pH 8 25 deg C	(1)
Koc (KOC)	3.97	pH 9 25 deg C	(1)
Koc (KOC)	3.95	pH 10 25 deg C	(1)
LOGD (LOGD)	4.35	pH 1 25 deg C	(1)
LOGD (LOGD)	4.34	pH 2 25 deg C	(1)
LOGD (LOGD)	4.22	pH 3 25 deg C	(1)
LOGD (LOGD)	3.70	pH 4 25 deg C	(1)
LOGD (LOGD)	2.80	pH 5 25 deg C	(1)
LOGD (LOGD)	1.90	pH 6 25 deg C	(1)
LOGD (LOGD)	1.35	pH 7 25 deg C	(1)
LOGD (LOGD)	1.22	pH 8 25 deg C	(1)
LOGD (LOGD)	1.21	pH 9 25 deg C	(1)
LOGD (LOGD)	1.21	pH 10 25 deg C	(1)
LOGP (LOGP)	4.356+/-0.395	25 deg C	(1)
Mass Intrinsic Solubility (ISLB.MASS)	0.0036 g/L	25 deg C	(1)
Mass Solubility (SLB.MASS)	0.0036 g/L	pH 1 25 deg C	(1)
Mass Solubility (SLB.MASS)	0.0039 g/L	pH 2 25 deg C	(1)
Mass Solubility (SLB.MASS)	0.0049 g/L	pH 3 25 deg C	(1)
Mass Solubility (SLB.MASS)	0.017 g/L	pH 4 25 deg C	(1)
Mass Solubility (SLB.MASS)	0.13 g/L	pH 5 25 deg C	(1)
Mass Solubility (SLB.MASS)	1.0 g/L	pH 6 25 deg C	(1)
Mass Solubility (SLB.MASS)	3.6 g/L	pH 7 25 deg C	(1)
Mass Solubility (SLB.MASS)	4.9 g/L	pH 8 25 deg C	(1)
Mass Solubility (SLB.MASS)	5.2 g/L	pH 9 25 deg C	(1)
Mass Solubility (SLB.MASS)	5.2 g/L	pH 10 25 deg C	(1)
Mass Solubility (SLB.MASS)	0.025 g/L	Unbuffered Water pH 4.20 25 deg C	(1)
Molar Intrinsic Solubility (ISLB.MOL)	0.000011 mol/L	25 deg C	(1)
Molar Solubility (SLB.MOL)	0.000011 mol/L	pH 1 25 deg C	(1)
Molar Solubility (SLB.MOL)	0.000012 mol/L	pH 2 25 deg C	(1)
Molar Solubility (SLB.MOL)	0.000015 mol/L	pH 3 25 deg C	(1)
Molar Solubility (SLB.MOL)	0.000051 mol/L	pH 4 25 deg C	(1)
Molar Solubility (SLB.MOL)	0.00040 mol/L	pH 5 25 deg C	(1)
Molar Solubility (SLB.MOL)	0.0032 mol/L	pH 6 25 deg C	(1)
Molar Solubility (SLB.MOL)	0.011 mol/L	pH 7 25 deg C	(1)
Molar Solubility (SLB.MOL)	0.015 mol/L	pH 8 25 deg C	(1)
Molar Solubility (SLB.MOL)	0.016 mol/L	pH 9 25 deg C	(1)
Molar Solubility (SLB.MOL)	0.016 mol/L	pH 10 25 deg C	(1)
Molar Solubility (SLB.MOL)	0.000075 mol/L	Unbuffered Water pH 4.20 25 deg C	(1)
Molar Volume (MVOL)	251.9+/-3.0 cm**3/mol	20 deg C 760 Torr	(1)
Molecular Weight (MW)	327.33		(1)

PKA (PKA)	3.45+/-0.36	Most Acidic 25 deg C	(1)
PKA (PKA)	-1.30+/-0.50	Most Basic 25 deg C	(1)
Polar Surface Area (PSA)	84.86 A**2		(1)
Vapor Pressure (VP)	1.49E-14 Torr	25 deg C	(1)

This substance may exist in multiple tautomeric forms. The predicted property values in this table are calculated based upon the displayed form and may therefore differ from experimental values based on the actual tautomeric ratio at equilibrium.

(1) Calculated using Advanced Chemistry Development (ACD/Labs) Software V8.14 ((C) 1994-2007 ACD/Labs)

See HELP PROPERTIES for information about property data sources in REGISTRY.

575 REFERENCES IN FILE CA (1907 TO DATE)
9 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
577 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1

AN 147:226809 CA Full-text
TI Topical Bromfenac Sodium for Long-Term Management of Vernal Keratoconjunctivitis
AU Uchio, Eiichi; Itoh, Yuki; Kadonosono, Kazuaki
CS Department of Ophthalmology, Fukuoka University School of Medicine, Fukuoka, Japan
SO Ophthalmologica (2007), 221(3), 153-158
CODEN: OPHTAD; ISSN: 0030-3755
PB S. Karger AG
DT Journal
LA English
CC 1-9 (Pharmacology)
Section cross-reference(s): 63
AB Background/Aims: We evaluated the efficacy and safety of long-term management of patients with vernal keratoconjunctivitis (VKC) with bromfenac sodium eye drops in combination with corticosteroids and anti-allergic eye drops. Methods: Twenty-two patients with VKC were randomly assigned to receive two test eye drops, either bromfenac sodium 0.1% (group A) or placebo eye drops (normal saline; group B) for a mean observation period of 20.9 mo. Topical corticosteroids and mast cell stabilizers were continued during the observation period. Results: The mean 2-yr recurrence rate was 90.9% in group A and 11.3% in group B, with a significant difference. No serious side effect was observed in group A. Conclusion: These results suggest that bromfenac sodium eye drops can be used as baseline local treatment in patients with VKC.
ST topical bromfenac sodium NSAID fluorometholone tranilast vernal keratoconjunctivitis
IT Allergy
Eye, disease
Inflammation
(allergic conjunctivitis; safety and efficacy of topical bromfenac sodium in combination with corticosteroids and mast cell stabilizers for long-term management of vernal keratoconjunctivitis)
IT Allergy inhibitors
Combination chemotherapy
Human
Nonsteroidal anti-inflammatory drugs

(safety and efficacy of topical bromfenac sodium in combination with corticosteroids and mast cell stabilizers for long-term management of vernal keratoconjunctivitis)

IT Corticosteroids, biological studies
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(safety and efficacy of topical bromfenac sodium in combination with corticosteroids and mast cell stabilizers for long-term management of vernal keratoconjunctivitis)

IT Drug delivery systems
(topical; safety and efficacy of topical bromfenac sodium in combination with corticosteroids and mast cell stabilizers for long-term management of vernal keratoconjunctivitis)

IT 426-13-1, Fluorometholone 53902-12-8, Tranilast 91714-93-1, Bromfenac sodium
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(safety and efficacy of topical bromfenac sodium in combination with corticosteroids and mast cell stabilizers for long-term management of vernal keratoconjunctivitis)

RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD

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REFERENCE 2

AN 147:219675 CA Full-text
TI Study on preparation and in vitro characterization of tranilast poly(D,L-lactide) microspheres by a modified solvent evaporation/extraction method
AU Yao, Bangxin; Zou, Ye; Li, Que; Wu, Wei

CS School of Pharmacy, Fudan University, Shanghai, 200032, Peop. Rep. China
SO Zhongguo Yaoxue Zazhi (Beijing, China) (2006), 41(8), 608-612
CODEN: ZYZAEU; ISSN: 1001-2494
PB Zhongguo Yaoxue Zazhishe
DT Journal
LA Chinese
CC 63-6 (Pharmaceuticals)
AB The tranilast poly(D,L-lactide) microspheres were prepd. by using a modified solvent evaporation/extraction method to investigate its in vitro properties. Tranilast microspheres were prepared by O/W type solvent evaporation/extraction method, with 4% polyvinyl alc. solution as emulsifier. Organic solvent was added to the inner and outer phase to accelerate solvent extraction and the formation of microspheres. In vitro characteristics, such as particle size and distribution, morphol., drug loading, loading efficiency and drug release, were investigated. Adding polar organic solvent in the inner phase improved the loading efficiency of tranilast in microspheres, meanwhile it decreased microsphere diameter greatly. Loading efficiency did not increase after adding organic solvent in the outer phase, however it decreased diams. Microspheres prepared under optimum conditions were smooth and spherical. A burst release was observed and followed by sustained release, releasing 65% of total tranilast after 7 days. The modified solvent evaporation/extraction method could be used for the preparation of tranilast poly(D,L-lactide) microspheres with high drug loading efficiency.
ST tranilast polylactide microsphere polyvinyl alc emulsion solvent evapn extn
IT Drug delivery systems
(emulsions; study on preparation and in vitro characterization of tranilast poly(D,L-lactide) microspheres by a modified solvent evaporation/extraction method)
IT Polyesters, biological studies
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(lactic acid-based; study on preparation and in vitro characterization of tranilast poly(D,L-lactide) microspheres by a modified solvent evaporation/extraction method)
IT Encapsulation
(microencapsulation; study on preparation and in vitro characterization of tranilast poly(D,L-lactide) microspheres by a modified solvent evaporation/extraction method)
IT Drug delivery systems
(microspheres; study on preparation and in vitro characterization of tranilast poly(D,L-lactide) microspheres by a modified solvent evaporation/extraction method)
IT Dissolution
Particle size
Solvent extraction
(study on preparation and in vitro characterization of tranilast poly(D,L-lactide) microspheres by a modified solvent evaporation/extraction method)
IT 60-29-7, Ether, biological studies
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(aether; study on preparation and in vitro characterization of tranilast poly(D,L-lactide) microspheres by a modified solvent evaporation/extraction method)
IT 64-17-5, Ethanol, biological studies 67-64-1, Acetone, biological studies 71-36-3, n-Butanol, biological studies 9002-89-5, Polyvinyl alcohol 26023-30-3, Poly[oxy(1-methyl-2-oxo-1,2-ethanediyl)] 53902-12-8, Tranilast
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(study on preparation and in vitro characterization of tranilast poly(D,L-lactide) microspheres by a modified solvent evaporation/extraction

method)

REFERENCE 3

AN 147:197484 CA Full-text
TI Polymer coatings for drug reservoir stents
IN Savage, Douglas R.; Betts, Ronald E.
PA USA
SO U.S. Pat. Appl. Publ., 14pp.
CODEN: USXXCO
DT Patent
LA English
NCL 623001150
CC 63-7 (Pharmaceuticals)
Section cross-reference(s): 1
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2007173923	A1	20070726	US 2006-336367	20060120
PRAI US 2006-336367	20060120			

AB Drug reservoir stents and methods of making and using the same are described. Such drug reservoir stents are prepared by applying a sacrificial material to one or more surfaces of the strut filaments of a drug delivery stent and applying a durable coating material to the surface of the sacrificial material to create a durable shell. A drug reservoir is created between the surface(s) of the strut filament and the durable shell by creating at least one perforation in the durable shell and removing the sacrificial material. The resulting reservoir is then filled with one or more therapeutic drugs. The drug reservoir stent allows elution of drug in the absence of a polymer binder. Thus, stents were coated with poly(DL-lactide) dissolved in acetone at a concentration of 25 mg/mL as a sacrificial layer, followed by coating with a 5 μm thick layer of parylene C. The stents were then perforated with an excimer laser with perforations primarily formed on the outer surfaces of the coated struts and fully immersed in 100% acetone solvent for a period of 1 h to dissolve the underlying sacrificial polymer layer. Biolimus A9 was dissolved in acetone and applied to the stent surface by deposition through a blunt hypodermic needle attached to a 10 μL glass syringe such that the reservoir space between the parylene C shell and the outer stent surface was saturated with the drug. The stents comprising a reservoir with approx. 225 μg of drug were implanted into coronary artery of pigs and vascular response was evaluated at 28 days post-implantation. The significant reduction in stenosis with the drug-loaded stent was observed compared to the control stents (no drug), suggesting that a beneficial effect was produced by the therapeutic drug Biolimus A9 when administered via the drug reservoir stent of the present invention.

ST polymer coating reservoir stent drug delivery implant
IT Polymers, biological studies
RL: TEM (Technical or engineered material use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(coatings; polymer coatings for reservoir stents for drug delivery)
IT Drug delivery systems
(implants; polymer coatings for reservoir stents for drug delivery)
IT Vascular restenosis
(inhibitors; polymer coatings for reservoir stents for drug delivery)
IT Polyesters, uses
RL: TEM (Technical or engineered material use); USES (Uses)
(lactide, sacrificial layer; polymer coatings for reservoir stents for drug delivery)
IT Antibiotics

Anticoagulants
Cytotoxic agents
Immunosuppressants
(polymer coatings for reservoir stents for drug delivery)

IT Coating materials
(polymeric; polymer coatings for reservoir stents for drug delivery)

IT Medical goods
(stents; polymer coatings for reservoir stents for drug delivery)

IT Coronary stenosis
(treatment of; polymer coatings for reservoir stents for drug delivery)

IT 50-28-2, β-Estradiol, biological studies 9005-49-6, Heparin, biological studies 33069-62-4, Paclitaxel 53123-88-9, Rapamycin 53902-12-8, Tranilast 59865-13-3, Cyclosporin 159351-69-6, Everolimus 221877-54-9, ABT-578 851536-75-9, Biolimus A9 944472-64-4, TRM 986
RL: PAC (Pharmacological activity); TEM (Technical or engineered material use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(polymer coatings for reservoir stents for drug delivery)

IT 9052-19-1, Parylene C 25722-33-2, Parylene
RL: TEM (Technical or engineered material use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(polymer coatings for reservoir stents for drug delivery)

IT 26023-30-3, Poly[oxy(1-methyl-2-oxo-1,2-ethanediyl)] 26161-42-2 26680-10-4, Polylactide 33135-50-1, Poly(L-lactide)
RL: TEM (Technical or engineered material use); USES (Uses)
(sacrificial layer; polymer coatings for reservoir stents for drug delivery)

REFERENCE 4

AN 147:133552 CA Full-text

TI Influence of tranilast on expression of BMP-7, TGF-β1 in rats with chronic cyclosporin A nephrotoxicity

AU Bai, Ya-jun; Jing, Yu; Tao, Ye; Fu, Ping; Wu, Xin; Li, Dan-dan; Liu, Qi-feng

CS Department of Nephrology, West China Hospital, Sichuan University, Chengdu, Sichuan, 610041, Peop. Rep. China

SO Zhongguo Jiceng Yiyao (2006), 13(11), 1799-1801
CODEN: ZJYHB6; ISSN: 1008-6706

PB Zhongguo Jiceng Yiyao Zazhishe

DT Journal

LA Chinese

CC 1-8 (Pharmacology)

AB The influence of tranilast on protein expression of bone morphogenetic protein-7 (BMP-7) and TGF-β1 in rats with chronic cyclosporin A nephrotoxicity and the mechanisms of protective effect of tranilast were studied. CsA was administered to SD rats on low salt diet (i.g.) at a dose of 20 mg/kg once daily for 28 days. Tranilast (in a dose of 400 mg/kg once daily) was given to prevent nephrotoxicity and irbesartan (in a dose of 10 mg/kg once daily) were used to these rats as control. The related targets were observed at 4 wk after therapy. Tranilast attenuated tubular cells vacuolations as well as interstitial damage including inflammatory cells infiltration and focal interstitial fibrosis. Meanwhile, tranilast down-regulated the expression of TGF-β1 and up-regulated the expression of BMP-7 in kidney, and there was neg. correlation between the two. These results show that tranilast decreased the expression of TGF-β1 and up-regulated the expression of BMP-7, which was associated with attenuation of structural changes of kidney in chronic CsA nephrotoxicity rats.

ST Tranilast cyclosporine A nephrotoxicity TGF beta 1 BMP 7

IT Transforming growth factor β

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(TGFβ1; influence of tranilast on expression of BMP-7, TGF-β 1 in rats with chronic cyclosporin A nephrotoxicity)

IT Nephrotoxicity
(influence of tranilast on expression of BMP-7, TGF-β 1 in rats with chronic cyclosporin A nephrotoxicity)

IT Bone morphogenetic protein 7
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(influence of tranilast on expression of BMP-7, TGF-β 1 in rats with chronic cyclosporin A nephrotoxicity)

IT 59865-13-3, Cyclosporine A
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(influence of tranilast on expression of BMP-7, TGF-β 1 in rats with chronic cyclosporin A nephrotoxicity)

IT 53902-12-8, Tranilast
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(influence of tranilast on expression of BMP-7, TGF-β 1 in rats with chronic cyclosporin A nephrotoxicity)

REFERENCE 5

AN 147:125577 CA Full-text
TI Polymeric compositions comprising therapeutic agents in crystalline phases, and methods of forming the same
IN Dave, Vipul; Burgermeister, Robert
PA Cordis Corporation, USA
SO Can. Pat. Appl., 30pp.
CODEN: CPXXEB
DT Patent
LA English
CC 63-6 (Pharmaceuticals)
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CA 2572100	A1	20070629	CA 2006-2572100	20061227
	US 2007154554	A1	20070705	US 2005-321255	20051229
	EP 1810665	A1	20070725	EP 2006-256581	20061227
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
	JP 2007182442	A	20070719	JP 2006-355363	20061228

PRAI US 2005-321255 20051229

AB The present invention relates to a drug-contg. polymeric compn. comprising a therapeutic agent encapsulated in a biocompatible polymer, wherein a portion of the therapeutic agent in this polymeric composition is crystalline The biocompatible polymer may form a substantially continuous polymeric matrix with the therapeutic agent encapsulated therein. Alternatively, the biocompatible polymer may form polymeric particles with the therapeutic agent encapsulated therein.

ST biocompatible polymeric therapeutic cryst phase

IT Medical goods
(antithrombogenic; polymeric compns. comprising therapeutic agents in crystalline phases)

IT Blood vessel
(artificial; polymeric compns. comprising therapeutic agents in crystalline phases)

IT Polyesters, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(caprolactone-glycolide; polymeric compns. comprising therapeutic agents in crystalline phases)
IT Medical goods
(catheters; polymeric compns. comprising therapeutic agents in crystalline phases)
IT Macrolides
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(epothilones; polymeric compns. comprising therapeutic agents in crystalline phases)
IT Polyesters, biological studies
RL: TEM (Technical or engineered material use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(hydroxycarboxylic acid-based; polymeric compns. comprising therapeutic agents in crystalline phases)
IT Biodegradable materials
Coating materials
Encapsulation
Grinding (size reduction)
Milling (size reduction)
Spheronization
(polymeric compns. comprising therapeutic agents in crystalline phases)
IT Taxanes
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(polymeric compns. comprising therapeutic agents in crystalline phases)
IT Polyesters, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(polymeric compns. comprising therapeutic agents in crystalline phases)
IT Medical goods
(stents; polymeric compns. comprising therapeutic agents in crystalline phases)
IT 75-09-2, Methylene chloride, uses
RL: NUU (Other use, unclassified); USES (Uses)
(polymeric compns. comprising therapeutic agents in crystalline phases)
IT 50-28-2, Estradiol, biological studies 57-27-2, Morphine, biological studies 60-54-8, Tetracycline 362-07-2, Panzem 4291-63-8, Cladribine 7689-03-4, Camptothecin 19545-26-7, Wortmannin 33069-62-4, Paclitaxel 53123-88-9, Rapamycin 53123-88-9D, Rapamycin, esters 53902-12-8, Tranilast 104383-17-7, Sabeluzole 104987-11-3, Tacrolimus 114977-28-5 137071-32-0, Pimecrolimus 159351-69-6, Everolimus 221877-54-9, Zotarolimus 502632-67-9
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(polymeric compns. comprising therapeutic agents in crystalline phases)
IT 29223-92-5 31621-87-1 34346-01-5, Glycolic acid-lactic acid copolymer 41706-81-4, Caprolactone-glycolide copolymer
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(polymeric compns. comprising therapeutic agents in crystalline phases)

REFERENCE 6

AN 147:109643 CA Full-text
TI Effects of tranilast on vascular smooth muscle cell growth and expression of cyclin protein P21 and P53
AU Liu, Zongjun; Qin, Yongwen; Jin, Huigen; Luo, Qijian
CS Changhai Hospital, Second Military Medical University, Shanghai, 200433, Peop. Rep. China
SO Shanghai Yixue (2006), 29(11), 781-784

CODEN: SIHSD8; ISSN: 0253-9934
PB Shanghai Yixue Bianji Weiyuanhui
DT Journal
LA Chinese
CC 1-8 (Pharmacology)
AB The objective is to investigate the effects of tranilast on vascular smooth muscle cells (VSMCs) growth and the expression of cyclin protein p21 and p53. Cultured rabbit VSMCs model was constructed in vitro. Cell proliferation and migration were measured by viable cell count, and IC50 was calculated The changes of cell cycle and apoptotic cells were detected by flow cytometry technique 24 h after tranilast treatment. The expression of cyclin protein p21 and p53 were measured by Western- blot. Tranilast markedly inhibited the proliferation and migration of VSMCs induced by 20% FBS(pos. correlated with concentration in the range of 9.6-305.8 μmol/L of tranilast). IC50 was 75.6 μmol/L, the chill number in GI phase significantly decreased to 24% 24 h after the treatment which was obviously lower than 51% of the pretreatment($P<0.01$) and apoptotic rate of VSMXs was 36.7%. The expression of cyclin protein p21 and p53 were evident after tranilast(75.6 μmol/L)treatment, while the expression of those proteins were not seen in blank controls. Tranilast inhibits VSMCs growth in a concentration-dependent manner. The inhibitory effect of tranilast on the proliferation of VSMCs is primarily attributed to cell apoptosis and may be related to the expression of cyclin protein p21 and p53.
ST tranilast vascular smooth muscle cell apoptosis; P 21 P 53
IT Apoptosis
Cell proliferation
Vascular smooth muscle
(effects of tranilast on vascular smooth muscle cell growth and expression of cyclin protein P21 and P53)
IT Antibodies and Immunoglobulins
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(effects of tranilast on vascular smooth muscle cell growth and expression of cyclin protein P21 and P53)
IT Behavior
(migratory; effects of tranilast on vascular smooth muscle cell growth and expression of cyclin protein P21 and P53)
IT Physiological saline solutions
(phosphate-buffered; effects of tranilast on vascular smooth muscle cell growth and expression of cyclin protein P21 and P53)
IT 56-85-9, L-Glutamine, biological studies 57-92-1, Streptomycin 1406-05-9, Penicillin 9002-07-7, Trypsin 25535-16-4, Propidium iodide
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(effects of tranilast on vascular smooth muscle cell growth and expression of cyclin protein P21 and P53)
IT 53902-12-8, Tranilast
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(effects of tranilast on vascular smooth muscle cell growth and expression of cyclin protein P21 and P53)
IT 64-17-5, Ethanol, biological studies 67-68-5, Dimethyl sulfoxide, biological studies
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(solvent; effects of tranilast on vascular smooth muscle cell growth and expression of cyclin protein P21 and P53)

REFERENCE 7

AN 147:45136 CA Full-text
TI Glucuronidation of antiallergic drug, tranilast: identification of human UDP-glucuronosyltransferase isoforms and effect of its phase I metabolite
AU Katoh, Miki; Matsui, Tomohito; Yokoi, Tsuyoshi
CS Division of Pharmaceutical Sciences, Graduate School of Medical Science, Kanazawa University, Kanazawa, Japan
SO Drug Metabolism and Disposition (2007), 35(4), 583-589
CODEN: DMDSAI; ISSN: 0090-9556
PB American Society for Pharmacology and Experimental Therapeutics
DT Journal
LA English
CC 1-2 (Pharmacology)
AB Tranilast is an oral antiallergic agent widely used in Japan. Recently, in Western populations, hyperbilirubinemia induced by tranilast was suspected during clin. trials. Tranilast has been reported to be mainly metabolized to a glucuronide and a phase I metabolite, 4-demethyltranilast (N-3). In the present study, we investigated the in vitro metabolism of tranilast in human liver and jejunum microsomes and recombinant UDP-glucuronosyltransferases (UGTs). The glucuronidation of tranilast was clarified to be mainly catalyzed by UGT1A1 in human liver and intestine. The Km values of tranilast glucuronosyltransferase activity were 51.5, 50.6, and 38.0 μM in human liver microsomes, human jejunum microsomes, and recombinant UGT1A1, resp. The Vmax values were 10.4, 42.9, and 19.7 pmol/min/mg protein in human liver microsomes, human jejunum microsomes, and recombinant UGT1A1, resp. When the intrinsic clearance was calculated using the in vitro kinetic parameters, microsomal protein content, and weight of tissues, tranilast glucuronosyltransferase activity was 2.5-fold higher in liver than in intestine. Tranilast glucuronosyltransferase activity was strongly inhibited by bilirubin, a typical UGT1A1 substrate, and N-3, indicating that the phase I metabolite could affect the tranilast glucuronosyltransferase activity. In the case of N-3 formation, the Km and Vmax values were 37.1 μM and 27.6 pmol/min/mg protein in human liver microsomes. The bilirubin glucuronosyltransferase activity was strongly inhibited by both tranilast and N-3, suggesting that tranilast-induced hyperbilirubinemia would be responsible for the inhibition by tranilast and N-3 of the bilirubin glucuronosyltransferase activity, as would the UGT1A1 genotype.
ST antiallergic tranilast metab glucuronidation UDP glucuronosyltransferase
IT Human
(glucuronidation of tranilast)
IT Demethylation
(metabolic disorders, hyperbilirubinemia,)
IT 9030-08-4, UDP-glucuronosyltransferase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(1A1, 1A3, 1A4, 1A6, 1A7, 1A8, 1A9, 1A10, 2B4, 2B7, 2B15, 2B17; glucuronidation of tranilast)
IT 61969-98-0, Bilirubin glucuronosyltransferase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(glucuronidation of tranilast)
IT 53902-12-8, Tranilast
RL: PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(glucuronidation of tranilast)
IT 635-65-4, Bilirubin, biological studies 53902-09-3 80530-43-4
329736-03-0, Cytochrome P 450 3A4 329978-01-0, Cytochrome P 450 2C9
330196-64-0, Cytochrome P 450 1A2 330196-93-5, Cytochrome P 450 2E1
330207-11-9, Cytochrome P 450 2B6 330207-13-1, Cytochrome P 450 2C8
330589-90-7, Cytochrome P 450 2C19 330597-62-1, Cytochrome P 450 2D6
331827-06-6, Cytochrome P 450 2A6 332847-52-6, Cytochrome P 450 4A
RL: BSU (Biological study, unclassified); BIOL (Biological study)

(metabolic disorders, hyperbilirubinemia,)
IT 50-28-2, β-Estradiol, biological studies 50-49-7, Imipramine 100-02-7, 4-Nitrophenol, biological studies 518-82-1, Emodin 2078-54-8, Propofol
RL: PAC (Pharmacological activity); BIOL (Biological study)
(metabolic disorders, hyperbilirubinemia,)
RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
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REFERENCE 8

AN 147:22690 CA Full-text
TI Quantitative structure vasodilatory activity relationship - synthesis and "in silico" and "in vitro" evaluation of resveratrol-coumarin hybrids
AU Vilar, Santiago; Quezada, Elias; Alcaide, Carlos; Orallo, Francisco; Santana, Lourdes; Uriarte, Eugenio
CS Departamento de Quimica Organica, Facultad de Farmacia, Universidad de Santiago de Compostela, Santiago de Compostela, 15782, Spain
SO QSAR & Combinatorial Science (2007), 26(3), 317-332
CODEN: QCSSAU; ISSN: 1611-020X
PB Wiley-VCH Verlag GmbH & Co. KGaA
DT Journal
LA English
CC 1-3 (Pharmacology)
Section cross-reference(s): 27
AB Three theor. models have been developed for the prediction of vasodilatory activity through a series of 2-D mol. descriptors. A database of 501 compds. was selected from the literature and, of these compds., 86 have vasodilatory activity. The QSAR models are capable of differentiating between active and inactive compds. with a level of classification greater than 80%. The models were used to predict the activity of a series of coumarins derived from resveratrol (a natural compound that is present in wine and has good vasodilatory activity) and led to the synthesis of three selected mols. The synthesis of the resveratrol-coumarin hybrids was efficiently achieved through a straight-forward and direct route, and their vasodilatory activities were determined exptl. in rat aorta rings that were pretreated with noradrenaline. The theor. results ("in silico" evaluation) show very good agreement with the exptl. data ("in vitro" evaluation), which provide evidence of the reliability of the theor. calcns. and show their applicability in the rational design of new compds. The compound predicted by the three models to be active (compound 6) was confirmed to be the more active than trans-resveratrol.

ST QSAR vasodilator resveratrol coumarin hybrid

IT QSAR (quantitative structure-activity relationship)
Vasodilators
(QSAR vasodilatory activity relationship of resveratrol-coumarin hybrids)

IT 447-41-6, Buphenine
RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)
(Bufenine; QSAR vasodilatory activity relationship of resveratrol-coumarin hybrids)

IT 50-11-3, Metharbital 50-19-1, Hydroxyphenamate 50-35-1, Thalidomide 50-53-3, biological studies 50-65-7, Niclosamide 51-26-3, Thyropropic acid 52-53-9, Verapamil 52-85-7, Famphur 53-86-1, Indomethacin 54-03-5, Hexobendine 54-32-0, Moxisylyte 54-92-2, Iproniazide 54-95-5, Pentylenetetrazole 55-38-9, Fenthion 55-63-0, Nitroglycerine 56-29-1, Hexobarbital 56-81-5D, Glycerol, Iodinated 58-32-2, Dipyridamole 58-61-7, Adenosine, biological studies 58-74-2, Papaverine 59-14-3, Broxuridine 59-26-7, Nikethamide 59-32-5, Chloropyramine 59-47-2, Mephenesin 59-61-0, Dichlorisoproterenol 59-87-0, Nitrofurazone 59-98-3, Tolazoline 60-79-7, Ergonovine 61-57-4, Niridazole 61-68-7, Mefenamic acid 62-73-7, Dichlorovos 63-25-2, Carbaryl 65-64-5, Mebanazine 66-79-5, Oxacillin 67-20-9, Nitrofurantoin 67-45-8, Furazolidone 67-96-9, Dihydrotachysterol 68-90-6, Benziodarone 70-30-4, Hexachlorophene 72-23-1, 11-Dehydrocorticosterone 72-44-6, Methaqualone 72-80-0 76-44-8, Heptachlor 77-46-3, Acedapsone 77-51-0, Isoaminile 77-66-7, Acecarbromal 77-75-8, Meparfynol 78-11-5, Pentaerythritol tetranitrate 78-27-3, 1-Ethynylcyclohexanol 79-83-4, Pantothenic acid 79-93-6, Phenaglycodol 80-00-2 80-03-5, Acediasulfone 80-13-7, Halazone 81-81-2, Warfarin 81-88-9, Rhodamine B 81-92-5, Phenolphthalol 82-02-0, Khellin 82-95-1, Buclizine 83-12-5, Phenindione 83-16-9, Pidylon 83-28-3 83-39-6, Glycarbylamide 83-88-5, Riboflavine, biological studies 84-12-8, Phanquone 84-22-0, Tetrahydrozoline 84-55-9, Viquidil 84-79-7, Lapachol 85-26-7, Salicil 85-97-2, 2-Phenyl-6-chlorophenol 86-42-0, Amodiaquin 86-80-6, Dimethisoquin 87-10-5, Tribromsalan 87-33-2, Isosorbide dinitrate 87-58-1, Iodol 87-66-1, Pyrogallol 87-86-5, Pentachlorophenol 88-29-9, Versalide 89-45-2, Salicylsulfuric acid 89-65-6, Isoascorbic acid 90-05-1, Guaiacol 90-33-5, Hymecromone 90-54-0, Etafenone 91-80-5, Methapyrilene 92-87-5, Benzidine 93-20-9, 2-(2-Naphthyloxy)ethanol 93-47-0, Verazide 93-72-1, Silvex 93-75-4, Thioquinox 94-12-2, Risocaine 94-13-3, Propylparaben 94-59-7, Safrole 95-05-6, Sulfirame 96-88-8, Mepivacaine 97-16-5, Genite 97-24-5, Fenticlor 97-53-0, Eugenol 98-01-1, Furfural, biological studies 98-92-0, Nicotinamide 99-45-6, Adrenalone 100-33-4, Pentamidine 101-57-5, N-Phenylsulfanilic acid 102-31-8 103-31-1, 4-Stilbazole 103-84-4, Acetanilide 108-73-6, Phloroglucinol 113-18-8, Ethclorvynol 113-45-1, Methyl phenidate 114-26-1, Propoxur 115-44-6, Talbutal 115-56-0, Methallatal 116-45-0, Sulfabromomethazine 117-27-1, 2-Nitro-1,1-bis(p-chlorophenyl)propane 117-80-6, Dichlone 118-56-9, Homosalate 118-57-0, Phenetsal 118-58-1, Benzyl salicylate 118-71-8, Maltol 119-29-9, Ambucaine 119-41-5, Efloxate 120-32-1, Chlorophene 120-47-8, Ethylparaben 120-58-1, Isosafrole 120-93-4, 2-Imidazolidinone 121-32-4, Ethyl vanillin 121-75-5 122-14-5, Fenitrothion 122-34-9, Simazine 122-59-8, Phenoxyacetic acid 123-33-1, Maleic hydrazide 125-55-3, Narcobarbital 126-93-2, Oxanamide 130-73-4, Methestrol 131-67-9, Phthalofyne 131-69-1, Phthalylsulfacetamide 131-79-3, Yellow OB 132-66-1, Naptalam 133-06-2, Captan 133-07-3, Folpet 133-11-9, Phenyl aminosalicylate 136-61-8, Pivalizid 136-72-1, Piperic acid 136-77-6, 4-Hexylresorcinol 138-39-6, Mafenide 146-22-5, Nitrazepam 147-27-3, Dimoxyline

149-29-1, Patulin 149-91-7, Gallic acid, biological studies 150-86-7, Phytol 152-72-7, Acenocoumarin 155-09-9, Tranylcypromine 297-97-2, Thionazin 299-42-3, Ephedrine 299-85-4, Zytron 302-49-8, Uredepa 304-84-7, Ethamivan 305-13-5, Estil 315-18-4, Mexacarbate 321-55-1, Haloxon 321-64-2, Tacrine 340-57-8, Mecloqualone 357-67-5, Phenetharbital 370-14-9, Pholedrine 389-08-2, Nalidixic acid 390-64-7, Prenylamine 395-28-8, Isoxsuprine 434-43-5, Phenpentermine 439-14-5, Diazepam 440-58-4, Iodamide 441-61-2, Ethylmethylthiambutene 442-16-0, Ethacridine 456-59-7, Cyclandelate 458-24-2, Fenfluramine 466-14-8, Ibrotamide 476-66-4, Ellagic acid 477-32-7, Visnadin 479-18-5, Dyphylline 479-45-8, Nitramine 480-78-4, Platyphylline 482-70-2, Chimaphilin 485-34-7 485-47-2, Ninhydrin 487-53-6, Hydroxyprocaine 487-79-6, Kainic acid 488-04-0, Holomycin 488-10-8, Jasmone 493-80-1, Histapyrrodine 494-97-3, Nornicotine 497-75-6, Dioxethedrine 498-71-5, Sobrerol 501-15-5, Deoxyepinephrine 501-36-0, Resveratrol 502-12-5, Nemotin 512-16-3, Cyclobutyrol 512-48-1, Novonal 517-18-0, Methallenestril 518-44-5, Fluorescin 519-34-6, Maclurin 519-40-4, Aspidinol 525-68-8, Galipine 525-79-1, Kinetin 526-18-1, Osalmid 529-73-7, Isopentaquine 530-08-5, Isoetharine 537-91-7, Nitrophenide 549-68-8, Octaverine 551-35-9, N-Isopropylsalicylamide 565-33-3, Metahexamide 573-20-6, Menadiol diacetate 576-11-4, Medmain 583-87-9, Iodobrassid 586-06-1, Metaproterenol 604-75-1, Oxazepam 616-68-2, Trimecaine 616-91-1, Acetylcysteine 632-99-5, Rosaniline 644-62-2, Meclofenamic acid 709-55-7, Etilefrin 709-98-8, Propanil 745-65-3, Alprostadil 777-11-7, Haloprogin 800-22-6, Chloracizine 804-10-4, Carbochromen 807-31-8, Aceperone 834-12-8, Ametryne 835-31-4, Naphazoline 840-80-2, Acroteben 840-81-3, Flavoteben 846-49-1, Lorazepam 853-34-9, Kebuzone 911-65-9, Etonitazene 950-37-8, Methidathion 957-56-2, Previscan 962-02-7, Nitrodan 963-14-4, Sulfaethoxypyridazine 1008-65-7, Fenadiazole 1018-71-9, Pyrrolnitrin
RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)
(QSAR vasodilatory activity relationship of resveratrol-coumarin hybrids)

IT 1028-33-7, Pentifylline 1043-21-6, Catalin 1087-06-5, Meprophendiol 1088-92-2, Nifurtoinol 1114-71-2, Pebulate 1146-98-1, Bromindione 1194-65-6, 2,6-Dichlorobenzonitrile 1210-56-6, Adrenoglomerulotropin 1219-77-8, Ujothion 1222-57-7, Zolimidine 1491-41-4, Naftalofos 1491-59-4, Oxymetazoline 1553-60-2, Ibufenac 1582-09-8, Trifluralin 1607-17-6, Pentrinitrol 1614-20-6, Nifurprazine 1617-90-9, Vincamine 1622-61-3, Clonazepam 1679-67-0 1689-89-0, Nitroxynil 1918-16-7, Propachlor 1929-82-4, N-Serve 1951-25-3, Amiodarone 2033-94-5, Centalun 2104-64-5, EPN 2107-76-8, 5,7-Dihydroxy-4-methylcoumarin 2139-47-1, Nifenazone 2164-09-2, Dicryl 2188-67-2, Naepaine 2207-50-3, Aminorex 2240-21-3, Thiofuradene 2277-92-1, Oxyclozanide 2295-58-1, Flopropione 2303-16-4, Diallate 2307-68-8, Solan 2316-64-5, Bromosaligenin 2608-24-4, Piposulfan 2612-33-1, Clonitrate 2691-45-4, Hexestrol bis(β-diethylaminoethyl ether) 2751-68-0, Acetophenazine 2763-96-4, Muscimol 2779-55-7, Saluzid 2829-19-8, Rolicyprine 2858-66-4, Pelletierine 2921-92-8, Propatyl nitrate 3011-89-0, Aklomide 3060-89-7, Metobromuron 3099-52-3, Nicametate 3116-76-5, Dicloxacillin 3337-71-1, Asulam 3416-26-0, Lidoflazine 3447-95-8, Benfurodil hemisuccinate 3511-16-8, Hetacillin 3562-84-3, Benzbromarone 3567-08-6, Isobuzole 3590-16-7, Phenetamine 3605-01-4, Piribedil 3625-25-0, Reposal 3686-58-6, Tolycaine 3735-45-3, Vetrabutine 3820-67-5, Glaphenine 4004-94-8, Zolertine 4044-65-9, Phenylene-1,4-diisothiocyanate 4115-76-8, 7-Hydroxy-4,8-dimethylcoumarin 4394-00-7, Niflumic acid 4602-84-0, Farnesol 4776-06-1, Fluorosalan 4880-88-0, Vinburnine 4936-47-4, Nifuratel 5003-48-5, Benorylate

5011-34-7, Trimetazidine 5421-04-5, Mandelic acid isoamyl ester 5585-64-8, Amotriphene 5638-76-6, Betahistine 5696-06-0, Methetoin 5902-51-2, Terbacil 6093-81-8 6164-98-3, Chlordimeform 6493-05-6, Pentoxifylline 6556-11-2, Inositol niacinate 6621-47-2, Perhexiline 6740-88-1, Ketamine 6961-46-2, Idrocilamide 7114-11-6, 2-(2-Hydroxy-1-naphthyl)cyclohexanone 7125-73-7, Flumetramide 7237-81-2, Hepronicate 7361-61-7, Xylazine 7432-25-9, Etaqualone 10001-43-1, Pimefylline 10089-10-8, Nidulin 10331-57-4, Menichlopholan 10571-59-2, Nicoclonate 12771-68-5, Ancymidol 13042-18-7, Fendiline 13067-93-1, Cyanofenphos 13311-84-7, Flutamide 13392-18-2, Fenoterol 13402-08-9, Acetylpheneturide 13425-39-3 13642-52-9, Soterenol 13931-64-1, Equipax 14008-44-7, Metopimazine 14176-10-4, Cetiedil 14176-49-9, Tiletamine 14759-06-9, Sulforidazine 14885-29-1, Ipronidazole 15301-48-1, Bezitramide 15351-13-0, Nicofuranose 15421-84-8, Trapidil 15686-83-6, Pyrantel 15687-14-6, Embutramide 15687-18-0, Fenpentadiol 15687-41-9, Oxyfedrine 16051-77-7, Isosorbide mononitrate 16752-77-5, Methomyl 17109-49-8, Edifenphos 17397-89-6, Cerulenin 17479-19-5, Dihydroergocristine 17692-22-7, Metizoline 17951-19-8, Justicidin B 18694-40-1, Mepirizole 18840-47-6, Gepefrine 19035-45-1, Normolaxol 19216-56-9, Prazosin 19504-77-9, Pecilocin 20004-62-0, Resistomycin 20574-50-9, Morantel 21087-64-9, Metribuzin 21187-98-4, Gliclazide 21829-25-4, Nifedipine 22071-15-4, Ketoprofen 22131-35-7, Butalamine 22232-71-9, Mazindol 22248-79-9 22662-39-1, Rafoxanide 22781-23-3, Bendiocarb 22916-47-8, Miconazole 22950-29-4, Dimetofrine 23210-56-2, Ifenprodil 23288-49-5, Probucol 23564-06-9, Thiophanate 23887-46-9, Cinepazide 23918-98-1, Eritadenine 25229-42-9, Cicrotoic acid 25333-96-4, Spasmolytol 27470-51-5, Suxibuzone 27848-84-6, Nicergoline 27877-51-6, Tolindate 29119-03-7, Frequentin 29334-07-4, 4-Methylesculetindisulfonic acid 29975-16-4, Estazolam 30279-49-3, Suclofenide 30544-61-7, Clanobutin 31329-57-4, Naftidrofuryl 31431-39-7, Mebendazole 32909-92-5, Sulfametrole 32953-89-2, Rimiterol 34256-82-1, Acetochlor 35367-38-5, Diflubenzuron 35531-88-5, Carindacillin 35898-87-4, Dilazep 36393-56-3, Norpseudoephedrine 36735-22-5, Quazepam 37924-13-3, Perfluidone 38304-91-5, Minoxidil 42399-41-7, Diltiazem 42576-02-3, Bifenox 42794-76-3, Midodrine 42971-09-5, Vinpocetine 43121-43-3, Triadimefon 43200-80-2, Zopiclone 43210-67-9, Fenbendazole 50471-44-8, Vinclozolin 50594-66-6, Acifluorfen 50679-07-7, Cinepazet maleate 50847-11-5, Ibudilast 51322-75-9, Tizanidine 51579-82-9, Amfenac 52094-70-9, Tetrantoin 52468-60-7, Flunarizine 53026-30-5, Karsil 53370-90-4, Exalamide 53449-58-4, Ciclonicate 53731-36-5, Floredil 53902-12-8, Tranilast 53943-88-7, Letosteine 54063-40-0, Fenoxedil 54400-59-8, Butamisole 54488-13-0 54767-75-8, Suloctidil 54965-21-8, Albendazole 55242-55-2, Propentofylline 55285-14-8, Carbosulfan 55779-18-5, Arprinocid 55837-25-7, Buflomedil 56518-41-3, Brodimoprim 56775-88-3, Zimeldine 57381-26-7, Irsogladine 59338-93-1, Alizapride 60207-90-1, Propiconazole 61676-87-7, Cymiazole 62973-76-6, Azanidazole 66195-31-1, Ibopamine 66357-35-5, Ranitidine 66644-81-3, Veralipride 68206-94-0, Cloricromen 69975-86-6, Doxofylline 72324-18-6, Stepronin 73590-58-6, Omeprazole 74115-24-5, Clofentezine 76578-14-8, Quizalofop-ethyl 76738-62-0, Paclobutrazol 76963-41-2, Nizatidine 77287-05-9, Rioprostil 77732-09-3, Oxadixyl 78919-13-8, Iloprost 78967-07-4, Mofezolac 79622-59-6, Fluazinam 81335-37-7, Imazaquin 82410-32-0, Ganciclovir 83121-18-0, Teflubenzuron 87848-99-5, Acrivastine 88255-01-0, Netobimin 88283-41-4, Pyrifenox 89796-99-6, Aceclofenac 91618-36-9, Ibafloxacin 101626-70-4, Talipexole 110235-47-7, Mepanipyrim 110703-94-1, Zopolrestat 111025-46-8, Pioglitazone 111753-73-2, Satigrel 112410-23-8, Tebufenozide 115550-35-1, Marbofloxacin 117976-89-3, Rabeprazole 118134-30-8, Spiroxamine 122547-49-3, Fropenem 122836-35-5, Sulfentrazone

RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)
(QSAR vasodilatory activity relationship of resveratrol-coumarin hybrids)

IT 123312-89-0, Pymetrozine 127045-41-4, Pazufloxacin 127560-12-7, Norbutrine 133454-47-4, Iloperidone 133855-98-8, Epoxiconazole 134678-17-4, Lamivudine 136470-78-5, Abacavir 137234-62-9, Voriconazole 147536-97-8, Bosentan 149820-74-6, Xemilofiban 151319-34-5, Zaleplon 151889-84-8 151889-87-1 151889-88-2 152811-62-6, Piboserod 178979-85-6, Capravirine 443650-48-4 443650-49-5 443650-52-0 570413-69-3 570413-71-7 570413-74-0 570413-75-1 847797-97-1 847797-99-3
RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)
(QSAR vasodilatory activity relationship of resveratrol-coumarin hybrids)

IT 6468-36-6P 24051-97-6P 927394-86-3P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(QSAR vasodilatory activity relationship of resveratrol-coumarin hybrids)

IT 104-01-8, 4-Methoxy phenylaceticacid 672-13-9 673-22-3 65162-29-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(QSAR vasodilatory activity relationship of resveratrol-coumarin hybrids)

IT 3173-00-0P 263364-71-2P 938185-22-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(QSAR vasodilatory activity relationship of resveratrol-coumarin hybrids)

IT 57653-27-7, Droprenylamine
RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)
(droprenilamine; QSAR vasodilatory activity relationship of resveratrol-coumarin hybrids)

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IN Cottone, Robert John, Jr.; Rowland, Stephen M.; Parker, Sherry
PA Orbusneich Medical, Inc., USA
SO U.S. Pat. Appl. Publ., 47pp., Cont.-in-part of U.S. Ser. No. 76,731.
CODEN: USXXCO
DT Patent
LA English
NCL 623001410
CC 63-7 (Pharmaceuticals)
Section cross-reference(s): 2, 15
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	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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AB A medical device for implantation into vessels or luminal structures within the body is provided, which stimulates pos. blood vessel remodeling. The medical device, such as a stent and a synthetic graft, is coated with a pharmaceutical composition consisting of a controlled-release matrix and one or more pharmaceutical substances for direct delivery of drugs to surrounding tissues. The coating on the medical device further comprises a ligand such as a peptide, an antibody or a small mol. for capturing progenitor endothelial cells in the blood contacting surface of the device for restoring an endothelium at the site of injury. In particular, the drug-coated stents are for use, for example, in balloon angioplasty procedures for preventing or inhibiting restenosis.

ST endothelium progenitor cell capture implant angioplasty repair restenosis

IT Prostaglandins
RL: TEM (Technical or engineered material use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(I; progenitor endothelial cell capturing with a drug-eluting implantable medical device)

IT Blood vessel
(artificial; progenitor endothelial cell capturing with a drug-eluting implantable medical device)

IT Medical goods
(catheters; progenitor endothelial cell capturing with a drug-eluting implantable medical device)

IT Blood vessel
(endothelium; progenitor endothelial cell capturing with a drug-eluting implantable medical device)

IT Dialysis
(hemodialysis; progenitor endothelial cell capturing with a drug-eluting implantable medical device)

IT Drug delivery systems
(implants; progenitor endothelial cell capturing with a drug-eluting implantable medical device)

IT Drug delivery systems
(nanoparticles; progenitor endothelial cell capturing with a drug-eluting implantable medical device)

IT Heart
(pacemaker, leads; progenitor endothelial cell capturing with a drug-eluting implantable medical device)

IT Drug delivery systems
(ports; progenitor endothelial cell capturing with a drug-eluting implantable medical device)

IT Anti-inflammatory agents
Anticoagulants
Antioxidants
Aromatase inhibitors
Atherosclerosis
Cell migration
Cell proliferation
Coating materials
Extracellular matrix

Heart, disease
Human
Immunomodulators
Peroxisome proliferators
Stem cell
Vascular restenosis
(progenitor endothelial cell capturing with a drug-eluting implantable medical device)

IT Alloys, biological studies
Antibodies and Immunoglobulins
Antigens
Calcitonin gene-related peptide receptors
Collagens, biological studies
Corticosteroids, biological studies
Elastins
Estrogens
Fibrins
Fibronectins
Hormones, animal, biological studies
Monocyte chemoattractant protein-1
Neurokinins
Tachykinins
Tropoelastins
RL: TEM (Technical or engineered material use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(progenitor endothelial cell capturing with a drug-eluting implantable medical device)

IT Angioplasty
(repair after; progenitor endothelial cell capturing with a drug-eluting implantable medical device)

IT Medical goods
(stents; progenitor endothelial cell capturing with a drug-eluting implantable medical device)

IT Heart
(valve; progenitor endothelial cell capturing with a drug-eluting implantable medical device)

IT Endothelium
(vascular; progenitor endothelial cell capturing with a drug-eluting implantable medical device)

IT 9039-48-9, Aromatase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitors; progenitor endothelial cell capturing with a drug-eluting implantable medical device)

IT 50-02-2, Dexamethasone 50-28-2, Estra-1,3,5(10)-triene-3,17-diol (17β)-, biological studies 53-43-0, Dehydroepiandrosterone 58-22-0, Testosterone 58-61-7, Adenosine, biological studies 107-92-6, Butyric acid, biological studies 302-79-4, Retinoic acid 1406-18-4, Vitamin E 3681-99-0, Puerarin 9004-54-0, Dextran, biological studies 11096-26-7, Erythropoietin 23288-49-5, Probucol 24280-93-1, Mycophenolic acid 33069-62-4, Paclitaxel 37270-94-3, Platelet factor 4 53123-88-9, Rapamycin 53902-12-8, Tranilast 59865-13-3, Cyclosporin A 79902-63-9, Simvastatin 81669-70-7 93957-54-1, Fluvastatin 104987-11-3, Tacrolimus 105913-11-9, Plasminogen activator 106096-93-9, Basic fibroblast growth factor 122320-73-4, Rosiglitazone 128794-94-5, Mycophenolate mofetil 137071-32-0, Pimecrolimus 146359-68-4 159351-69-6, Everolimus 162635-04-3, Temsirolimus 221877-54-9, Zotarolimus 697252-87-2, AP 23573 851536-75-9, Biolimus a9
RL: TEM (Technical or engineered material use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(progenitor endothelial cell capturing with a drug-eluting implantable

medical device)

REFERENCE 10

AN 147:1333 CA Full-text
TI Tranilast inhibits myocardial fibrosis after myocardial infarction
AU Fang, Zhi-cheng; Wang, Wei; Zhou, Chang-e; Hao, Lang-sun; Xie, Yong-lin
CS Department of Cardiology, Taihe Hospital, Yunyang University of Medicine, Shiyan, 442000, Peop. Rep. China
SO Xinzang Zazhi (2006), 18(3), 326-328
CODEN: XZIAAS; ISSN: 1009-7236
PB Xinzang Zazhi Bianjibu
DT Journal
LA Chinese
CC 1-8 (Pharmacology)
AB This paper explores the effect of tranilast on the myocardial fibrosis after myocardial infarction. The model of myocardial infarction was made on rabbits by ligation of the major ventricular branch of the left coronary artery. Three weeks later, the rabbits were given tranilast or placebo for one month via gastric canal. Heart function was assessed and the levels of TGF-β1, collagen and hydroxyproline were measured. Compared with the control, cardiac function, the bore of left ventricule, the concentration of TGF-β1, collagen and hydroxyproline were significantly decreased in tranilast group. Tranilast effectively inhibits myocardial fibrosis after myocardial infarction and prevents the development of ventricular remodeling.
ST myocardial infarction myocardial fibrosis inhibition tranilast
IT Transforming growth factor β
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(TGFβ1; tranilast inhibits myocardial fibrosis after myocardial infarction)
IT Fibrosis
(cardiac; tranilast inhibits myocardial fibrosis after myocardial infarction)
IT Heart, disease
(fibrosis; tranilast inhibits myocardial fibrosis after myocardial infarction)
IT Myocardial infarction
Ventricular remodeling
(tranilast inhibits myocardial fibrosis after myocardial infarction)
IT Collagens, biological studies
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(tranilast inhibits myocardial fibrosis after myocardial infarction)
IT 51-35-4, Hydroxyproline
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(tranilast inhibits myocardial fibrosis after myocardial infarction)
IT 53902-12-8, Tranilast
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(tranilast inhibits myocardial fibrosis after myocardial infarction)

=> d hist

(FILE 'HOME' ENTERED AT 15:08:20 ON 04 SEP 2007)

FILE 'REGISTRY' ENTERED AT 15:08:30 ON 04 SEP 2007
L1 1 TRANILAST/CN

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     FILE 'CAPLUS, MEDLINE, BIOSIS, PS, DRUGU' ENTERED AT 15:09:42 ON 04 SEP
     2007
L2          1516 S L1
L3       1076956 S STABILITY
L4            14 S L2 AND L3

     FILE 'REGISTRY' ENTERED AT 15:17:06 ON 04 SEP 2007
L5             1 S 53902-12-8/RN
                 SET NOTICE 1 DISPLAY
                 SET NOTICE LOGIN DISPLAY

=> file CAPLUS, MEDLINE, BIOSIS, PS, DRUGU
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                              12.03      62.11

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)    SINCE FILE      TOTAL
                                                 ENTRY    SESSION
CA SUBSCRIBER PRICE                              -0.73      -8.53

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FILE 'DRUGU' ENTERED AT 16:38:07 ON 04 SEP 2007
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=> s photodegradation
L6         14469 PHOTODEGRADATION

=> s l2 and l6
L7             4 L2 AND L6



=> d l7 ibib abs

L7   ANSWER 1 OF 4  CAPLUS  COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER:         2005:1003172  CAPLUS Full-text
DOCUMENT NUMBER:          143:272590
TITLE:                    Tranilast compositions packaged in specified
                          light-shielding transparent containers
INVENTOR(S):              Inooka, Motoyoshi; Seto, Tadashi
PATENT ASSIGNEE(S):       Rohto Pharmaceutical Co., Ltd., Japan
SOURCE:                   Jpn. Kokai Tokkyo Koho, 17 pp.
                          CODEN: JKXXAF
DOCUMENT TYPE:            Patent
LANGUAGE:                 Japanese
FAMILY ACC. NUM. COUNT:   2
PATENT INFORMATION:
```

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2005247819	A	20050915	JP 2004-98542	20040330
WO 2005094811	A1	20051013	WO 2005-JP5967	20050329

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CN 1938011	A	20070328	CN 2005-80010121	20050329
US 2007197648	A1	20070823	US 2006-594760	20060929

PRIORITY APPLN. INFO.:

JP 2003-342427	A	20030930
JP 2003-382863	A	20031112
JP 2004-28303	A	20040204
JP 2004-98542	A	20040330
JP 2004-107022	A	20040331
JP 2004-107023	A	20040331
JP 2004-120771	A	20040415
JP 2004-120772	A	20040415
WO 2005-JP5967	W	20050329

AB The invention relates to a pharmaceutical compn. contg. tranilast or its salt, e.g. an ophthalmic solution, injection, topical composition, etc., characterized by being filled in a transparent container which blocks 350-450 nm light, wherein the use of the container prevents photodegrdn. of tranilast during storage. A method of prevention of tranilast-containing composition by using the light-blocking transparent container is also disclosed. For example, a tranilast solution was filled in a yellow film-containing polypropylene eye drop container.

=> d l7 ibib abs 2-4

L7 ANSWER 2 OF 4 MEDLINE on STN

ACCESSION NUMBER: 2000212203 MEDLINE Full-text

DOCUMENT NUMBER: PubMed ID: 10748715

TITLE: Effect of UV-absorbing agents on photodegradation of tranilast in oily gels.

AUTHOR: Hori N; Fujii M; Ikegami K; Momose D; Saito N; Matsumoto M

CORPORATE SOURCE: Pharmaceutical Research Laboratories, Kissei Pharmaceutical Co., Ltd., Nagano, Japan.

SOURCE: Chemical & pharmaceutical bulletin, (1999 Dec) Vol. 47, No. 12, pp. 1713-6.
Journal code: 0377775. ISSN: 0009-2363.

PUB. COUNTRY: Japan

DOCUMENT TYPE: (IN VITRO)
Journal; Article; (JOURNAL ARTICLE)

LANGUAGE: English

FILE SEGMENT: Priority Journals

ENTRY MONTH: 200005

ENTRY DATE: Entered STN: 12 May 2000
Last Updated on STN: 12 May 2000
Entered Medline: 2 May 2000

AB Tranilast (TL) oily gels containing UV-absorbing agents (UV absorber) were prepared, and the effect of the agents against photodegradation of TL was investigated. When 0.1% TL oily gel without UV absorber was exposed to light, TL was photochemically decomposed to the extent of 74.1% of its initial content at the end of the first hour. Although there were differences in the preventive effect on photodegradation of TL depending on the UV absorbers employed, 2-(2-benzotriazolyl)-p-cresol (BTPC) was the most effective absorber. The addition of UV absorbers to the oily gel did not affect the release of TL from the gel, the skin permeation, or the skin concentration of TL following topical application. UV absorbers added to TL oily gel penetrated into skin; however, their concentration in skin was similar to that following application of commercial sunscreen. These results suggest that the addition of UV absorbers to the oily gel of TL may be useful in preventing photodegradation of TL in the gel.

L7 ANSWER 3 OF 4 BIOSIS COPYRIGHT (c) 2007 The Thomson Corporation on STN
ACCESSION NUMBER: 2000:162907 BIOSIS Full-text
DOCUMENT NUMBER: PREV200000162907
TITLE: Effect of UV-absorbing agents on photodegradation of tranilast in oily gels.
AUTHOR(S): Hori, Naohide [Reprint author]; Fujii, Makiko; Ikegami, Kazuhiko; Momose, Den-ichi; Saito, Noriyasu; Matsumoto, Mitsuo
CORPORATE SOURCE: Pharmaceutical Research Laboratories, Kissei Pharmaceutical Co., Ltd., 4365-1 Kashiwabara, Hotaka-machi, Nagano, 399-8304, Japan
SOURCE: Chemical and Pharmaceutical Bulletin (Tokyo), (Dec., 1999) Vol. 47, No. 12, pp. 1713-1716. print.
CODEN: CPBTAL. ISSN: 0009-2363.
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 26 Apr 2000
Last Updated on STN: 4 Jan 2002

AB Tranilast (TL) oily gels containing UV-absorbing agents (UV absorber) were prepared, and the effect of the agents against photodegradation of TL was investigated. When 0.1% TL oily gel without UV absorber was exposed to light, TL was photochemically decomposed to the extent of 74.1% of its initial content at the end of the first hour. Although there were differences in the preventive effect on photodegradation of TL depending on the UV absorbers employed, 2-(2-benzotriazolyl)-p-cresol (BTPC) was the most effective absorber. The addition of UV absorbers to the oily gel did not affect the release of TL from the gel, the skin permeation, or the skin concentration of TL following topical application. UV absorbers added to TL oily gel penetrated into skin; however, their concentration in skin was similar to that following application of commercial sunscreen. These results suggest that the addition of UV absorbers to the oily gel of TL may be useful in preventing photodegradation of TL in the gel.

L7 ANSWER 4 OF 4 DRUGU COPYRIGHT 2007 THE THOMSON CORP on STN
ACCESSION NUMBER: 2000-09049 DRUGU G Full-text
TITLE: Effect of UV-absorbing agents on photodegradation of tranilast in oily gels.
AUTHOR: Hori N; Fujii M; Ikegami K; Momose D; Saito N; Matsumoto M
CORPORATE SOURCE: Kissei; Showa-Coll.Pharm.Sci.
LOCATION: Nagano; Tokyo, Jap.
SOURCE: Chem.Pharm.Bull. (47, No. 12, 1713-16, 1999) 5 Fig. 1 Tab. 17 Ref.
CODEN: CPBTAL ISSN: 0009-2363

AVAIL. OF DOC.: Pharmaceutical Research Laboratories, Kissei Pharmaceutical Co. Ltd., 4365-1 Kashiwabara, Hotaka-machi, Nagano 399-8304, Japan.
LANGUAGE: English
DOCUMENT TYPE: Journal
FIELD AVAIL.: AB; LA; CT
FILE SEGMENT: Literature
AN 2000-09049 DRUGU G Full-text
AB The use of UV absorbing agents for preventing the photodegradation of tranilast (Kissei) in oily gel formulations following application to the skin, has been investigated. The UV absorbers ethyl-p-aminobenzoate (EPABA), 2-ethylhexyl-p- dimethylaminobenzoate (DABAO), 2-hydroxy-4-methoxybenzophenone (HMBP), 2-(2-benzotriazolyl)-p-cresol (BTPC, all Tokyo-Kasei), and 1-(4-tert-butylphenyl)-3-(4-methoxyphenyl)propane-1,3-diol (P1789, Nikko) were examined. BTPC and P1789 effectively prevented tranilast photodegradation. In-vitro skin permeation studies were also performed.
ABEX A 0.1% tranilast oily gel was decomposed to 74.1% of the initial tranilast concentration following 1 hr exposure to light. Addition of 3% BTPC or 3% P1789 showed an effective inhibition of photodegradation: 3% BTPC reduced the amount of photodegradation products to less than 2.5% after 1 hr irradiation. In skin permeation studies using excised pig skin, the skin permeation and skin concentrations of tranilast were not affected by the presence of BTPC or P1789. The skin concentrations of BTPC and P1789 were considered to be at safe levels. Therefore, the use of UV absorbers, particularly BTPC, may be useful for reducing tranilast photodegradation following administration to the skin. (E82)

http://www.cas.org/infopolicy.html

=> d hist

(FILE 'HOME' ENTERED AT 15:08:20 ON 04 SEP 2007)

FILE 'REGISTRY' ENTERED AT 15:08:30 ON 04 SEP 2007
L1 1 TRANILAST/CN

FILE 'CAPLUS, MEDLINE, BIOSIS, PS, DRUGU' ENTERED AT 15:09:42 ON 04 SEP 2007
L2 1516 S L1
L3 1076956 S STABILITY
L4 14 S L2 AND L3

FILE 'REGISTRY' ENTERED AT 15:17:06 ON 04 SEP 2007
L5 1 S 53902-12-8/RN
SET NOTICE 1 DISPLAY
SET NOTICE LOGIN DISPLAY

FILE 'CAPLUS, MEDLINE, BIOSIS, PS, DRUGU' ENTERED AT 16:38:07 ON 04 SEP 2007
L6 14469 S PHOTODEGRADATION
L7 4 S L2 AND L6

FILE 'STNGUIDE' ENTERED AT 16:41:52 ON 04 SEP 2007

FILE 'CAPLUS, MEDLINE, BIOSIS, PS, DRUGU' ENTERED AT 16:44:25 ON 04 SEP 2007

FILE 'CAPLUS' ENTERED AT 16:49:16 ON 04 SEP 2007

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=> s tranilast and photodegradation
           596 TRANILAST
          3573 PHOTODEGRADATION
             6 PHOTODEGRADATIONS
          3577 PHOTODEGRADATION
                 (PHOTODEGRADATION OR PHOTODEGRADATIONS)
          9888 PHOTODEGRDN
            44 PHOTODEGRDNS
          9905 PHOTODEGRDN
                 (PHOTODEGRDN OR PHOTODEGRDNS)
         10568 PHOTODEGRADATION
                 (PHOTODEGRADATION OR PHOTODEGRDN)
L8           2 TRANILAST AND PHOTODEGRADATION



=> s  53902-12-8/PRP
           577 53902-12-8
       5889009 PRP/RL
L11         20 53902-12-8/PRP
                 (53902-12-8 (L) PRP/RL)


=> d hist

     (FILE 'HOME' ENTERED AT 15:08:20 ON 04 SEP 2007)

     FILE 'REGISTRY' ENTERED AT 15:08:30 ON 04 SEP 2007
L1             1 TRANILAST/CN

     FILE 'CAPLUS, MEDLINE, BIOSIS, PS, DRUGU' ENTERED AT 15:09:42 ON 04 SEP
     2007
L2          1516 S L1
L3       1076956 S STABILITY
L4            14 S L2 AND L3

     FILE 'REGISTRY' ENTERED AT 15:17:06 ON 04 SEP 2007
L5             1 S 53902-12-8/RN
                 SET NOTICE 1 DISPLAY
                 SET NOTICE LOGIN DISPLAY

     FILE 'CAPLUS, MEDLINE, BIOSIS, PS, DRUGU' ENTERED AT 16:38:07 ON 04 SEP
     2007
L6          14469 S PHOTODEGRADATION
L7             4 S L2 AND L6

     FILE 'STNGUIDE' ENTERED AT 16:41:52 ON 04 SEP 2007

     FILE 'CAPLUS, MEDLINE, BIOSIS, PS, DRUGU' ENTERED AT 16:44:25 ON 04 SEP
     2007

     FILE 'CAPLUS' ENTERED AT 16:49:16 ON 04 SEP 2007
L8             2 S TRANILAST AND PHOTODEGRADATION
L9             0 S TRANILAST RL
L10            1 S TRANILAST ROLE
L11           20 S 53902-12-8/PRP
```

=> d ibib abs l11 1-20

L11 ANSWER 1 OF 20 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2007:624115 CAPLUS Full-text
DOCUMENT NUMBER: 146:528029
TITLE: Effect of characteristics of compounds on maintenance of an amorphous state in solid dispersion with crospovidone
AUTHOR(S): Shibata, Yusuke; Fujii, Makiko; Kokudai, Makiko; Noda, Shinobu; Okada, Hideko; Kondoh, Masuo; Watanabe, Yoshiteru
CORPORATE SOURCE: Showa Pharmaceutical University, 3-3165 Higashi-Tamagawagakuen, Machida, Tokyo, 194-8543, Japan
SOURCE: Journal of Pharmaceutical Sciences (2007), 96(6), 1537-1547
CODEN: JPMSAE; ISSN: 0022-3549
PUBLISHER: Wiley-Liss, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Solid dispersion (SD) of indomethacin with crospovidone (CrosPVP) shows useful characteristics for preparation of dosage forms. This study aimed to determine the types of drugs that could adopt a stable amorphous form in SD. Twenty compds. with various m.ps. (70-218°), mol. wts. (135-504) and functional groups (amide, amino, carbonyl, hydroxyl, ketone etc.) were prepared in SD with CrosPVP. The CrosPVP SDs were prepared using a mech. mixing and heating method. M.p. and mol. weight were found to have no influence on the ability of a compound to maintain an amorphous state in SD. All compds. containing hydrogen-bond-donor functional groups existed in an amorphous state in SD for at least 6 mo. IR spectra suggested an interaction between the functional groups of these compds. and amide carbonyl group of CrosPVP. Compds. without hydrogen-bond-donor groups could not maintain an amorphous state and underwent recrystn. within 1 mo. It was suggested that the presence of a hydrogen-bond-donor functional group in a compound is an important factor affecting the stable formation of SD with CrosPVP, which contains a hydrogen-bond acceptor.
REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 2 OF 20 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2007:366076 CAPLUS Full-text
DOCUMENT NUMBER: 147:22690
TITLE: Quantitative structure vasodilatory activity relationship - synthesis and "in silico" and "in vitro" evaluation of resveratrol-coumarin hybrids
AUTHOR(S): Vilar, Santiago; Quezada, Elias; Alcaide, Carlos; Orallo, Francisco; Santana, Lourdes; Uriarte, Eugenio
CORPORATE SOURCE: Departamento de Quimica Organica, Facultad de Farmacia, Universidad de Santiago de Compostela, Santiago de Compostela, 15782, Spain
SOURCE: QSAR & Combinatorial Science (2007), 26(3), 317-332
CODEN: QCSSAU; ISSN: 1611-020X
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Three theor. models have been developed for the prediction of vasodilatory activity through a series of 2-D mol. descriptors. A database of 501 compds. was selected from the literature and, of these compds., 86 have vasodilatory activity. The QSAR models are capable of differentiating between active and inactive compds. with a level of classification greater than 80%. The models

were used to predict the activity of a series of coumarins derived from resveratrol (a natural compound that is present in wine and has good vasodilatory activity) and led to the synthesis of three selected mols. The synthesis of the resveratrol-coumarin hybrids was efficiently achieved through a straight-forward and direct route, and their vasodilatory activities were determined exptl. in rat aorta rings that were pretreated with noradrenaline. The theor. results ("in silico" evaluation) show very good agreement with the exptl. data ("in vitro" evaluation), which provide evidence of the reliability of the theor. calcns. and show their applicability in the rational design of new compds. The compound predicted by the three models to be active (compound 6) was confirmed to be the more active than trans-resveratrol.

REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 3 OF 20 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:666025 CAPLUS Full-text
DOCUMENT NUMBER: 145:152690
TITLE: Method for inducing crystalline state transition in pharmaceuticals
INVENTOR(S): Nakamichi, Kouichi; Izumi, Shougo; Oka, Masaaki
PATENT ASSIGNEE(S): Nippon Shinyaju Company, Ltd., Japan
SOURCE: U.S., 18 pp., Cont.-in-part of U. S. 5,456,923.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5811547	A	19980922	US 1995-416815	19950609
CA 2147279	A1	19940428	CA 1993-2147279	19931013
WO 9408561	A1	19940428	WO 1993-JP1469	19931013
W: AU, BR, CA, FI, HU, JP, KR, NO, NZ, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9351607	A	19940509	AU 1993-51607	19931013
EP 665009	A1	19950802	EP 1993-922625	19931013
EP 665009	B1	20000216		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
AT 189770	T	20000315	AT 1993-922625	19931013
ES 2145063	T3	20000701	ES 1993-922625	19931013
US 5456923	A	19951010	US 1993-129133	19931115

PRIORITY APPLN. INFO.:		
JP 1992-303085	A	19921014
WO 1993-JP1469	W	19931013
US 1993-129133	A2	19931115
JP 1991-112554	A	19910416
WO 1992-JP470	W	19920414

AB This invention has for its object to provide a method of inducing a transition in crystalline state of a crystallizable pharmaceutical with great ease and improved efficiency and uniformity on a high production scale. An extruder is used for inducing a transition from one crystalline state (Δ) to another crystalline state in a crystallizable pharmaceutical. An extruded indomethacin (form α) was converted to an amorphous form.

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 4 OF 20 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:581974 CAPLUS Full-text
DOCUMENT NUMBER: 144:255548
TITLE: Impregnation of tranilast to the poly(lactic acid)

fiber with supercritical carbon dioxide and the release behavior of tranilast

AUTHOR(S): Sugiura, Kazuaki; Ogawa, Satoshi; Tabata, Isao; Hori, Teruo

CORPORATE SOURCE: Textile Research Center, Kyoto Municipal Industrial Research Institute, Kyoto, 602-0898, Japan

SOURCE: Sen'i Gakkaishi (2005), 61(6), 159-165
CODEN: SENGA5; ISSN: 0037-9875

PUBLISHER: Sen'i Gakkai

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The impregnation of hydrophobic drug, tranilast, into poly(lactic acid) (PLA) fiber with supercrit. carbon dioxide (scCO2) and the release behavior of tranilast from the PLA fiber were studied. The adsorption behavior of tranilast to the PLA fiber was evaluated. Equilibrium adsorption of tranilast was obtained in 60 min. The amount of adsorbed tranilast in equilibrium was 0.3-0.5% on the weight of fiber. The apparent diffusion coefficient was estimated from the apparent adsorption curve. The order of this value was 10-12 m2/s. The tensile strength and modulus of the PLA fiber treated with scCO2/EtOH decreased, as compared to the untreated fiber. By the scanning microspectrophotometric measurements, it was confirmed that tranilast was distributed uniformly in the PLA fiber. It was revealed that the tranilast was not crystallized in the PLA fiber. A fairly slow release of tranilast from the PLA fiber was observed in buffer solution The amount of released tranilast after 60 days was about 20% of the total drug amount introduced into the body of a rat.

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 5 OF 20 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:241232 CAPLUS Full-text

DOCUMENT NUMBER: 143:253658

TITLE: Structural analysis of polymorphism and solvation in tranilast

AUTHOR(S): Vogt, Frederick G.; Cohen, Dawn E.; Bowman, Joshua D.; Spoors, Grant P.; Zuber, Gary E.; Trescher, Gudrun A.; Dell'orco, Philip C.; Katrincic, Lee M.; Debrosse, Charles W.; Haltiwanger, R. Curtis

CORPORATE SOURCE: Chemical and Pharmaceutical Development, GlaxoSmithKline P.L.C., King of Prussia, PA, 19406, USA

SOURCE: Journal of Pharmaceutical Sciences (2005), 94(3), 651-665
CODEN: JPMSAE; ISSN: 0022-3549

PUBLISHER: Wiley-Liss, Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Five polymorphic forms of tranilast were characterized by thermal, diffractometric, and spectroscopic techniques. The crystal structures of the most stable anhydrous form (Form I), a chloroform solvate, and a dichloromethane solvate were determined from single-crystal x-ray anal. Two addnl. anhydrous forms of tranilast (Forms II and III) were also studied, but were not amenable to SCXRD. All five forms were also analyzed using solid-state NMR, Fourier transform IR, and Fourier transform-Raman spectroscopy, and thermal methods. From the trends observed in the crystal structures and the spectral data, some conclusions can be made about hydrogen bonding, mol. conformation, and crystal packing differences in the polymorphs and solvates. Form II was found to be a spectroscopically distinctive polymorph that is probably missing an important intramol. hydrogen bond coupled with a conformational change. In contrast, Form III was found to be more similar to

the crystallog. characterized forms, and is more likely a packing and hydrogen-bonding polymorph with a weakened intermol. hydrogen-bonding interaction relative to the other forms. From a pharmaceutical development perspective, it is shown that although the anhydrous forms of tranilast have similar thermal properties, they can be reliably distinguished by spectroscopic methods.

REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 6 OF 20 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:974919 CAPLUS Full-text

DOCUMENT NUMBER: 142:328889

TITLE: Estimating the safe starting dose in phase I clinical trials and no observed effect level based on QSAR modeling of the human maximum recommended daily dose

AUTHOR(S): Contrera, Joseph F.; Matthews, Edwin J.; Kruhlak, Naomi L.; Benz, R. Daniel

CORPORATE SOURCE: US Food and Drug Administration, Office of Pharmaceutical Science, Informatics and Computational Safety Analysis Staff (ICSAS), Center for Drug Evaluation and Research (HFD-901), Rockville, MD, 20857, USA

SOURCE: Regulatory Toxicology and Pharmacology (2004), 40(3), 185-206
CODEN: RTOPDW; ISSN: 0273-2300

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Estg. the max. recommended starting dose (MRSD) of a pharmaceutical for phase I human clin. trials and the no observed effect level (NOEL) for non-pharmaceuticals is currently based exclusively on an extrapolation of the results of animal toxicity studies. This process is inexact and requires the results of toxicity studies in multiple species (rat, dog, and monkey) to identify the no observed adverse effect level (NOAEL) and most sensitive test species. Multiple uncertainty (safety) factors are also necessary to compensate for incompatibility and uncertainty underlying the extrapolation of animal toxicity to humans. The maximum recommended daily dose for pharmaceuticals (MRDD) is empirically derived from human clin. trials. The MRDD is an estimated upper dose limit beyond which a drug's efficacy is not increased and/or undesirable adverse effects begin to outweigh beneficial effects. The MRDD is essentially equivalent to the NOAEL in humans, a dose beyond which adverse (toxicol.) or undesirable pharmacol. effects are observed The NOAEL in test animals is currently used to estimate the safe starting dose in human clin. trials. MDL QSAR predictive modeling of the human MRDD may provide a better, simpler and more relevant estimation of the MRSD for pharmaceuticals and the toxic dose threshold of chems. in humans than current animal extrapolation based risk assessment models and may be a useful addition to current methods. A database of the MRDD for over 1300 pharmaceuticals was compiled and modeled using MDL QSAR software and E-state and connectivity topol. descriptors. MDL QSAR MRDD models were found to have good predictive performance with 74-78% of predicted MRDD values for 120 internal and 160 external validation compds. falling within a range of ±10-fold the actual MRDD value. The predicted MRDD can be used to estimate the MRSD for pharmaceuticals in phase I clin. trials with the addition of a 10-fold safety factor. For non-pharmaceutical chems. any compound-related effect can be considered an undesirable and adverse toxicol. effect and the predicted MRDD can be used to estimate the NOEL with the addition of an appropriate safety factor.

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 7 OF 20 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:265811 CAPLUS Full-text
DOCUMENT NUMBER: 141:400705
TITLE: Development of highly functional medical substance using melt-spinning technology
AUTHOR(S): Tsumura, Yukio
CORPORATE SOURCE: Technical Center for Textile and Fibers, Kyoto City Industrial Technology Research Institute, Japan
SOURCE: Senshoku Kenkyu (2004), 48(1), 9-14
CODEN: SEKEDL; ISSN: 0389-6277
PUBLISHER: Kyoto Senshoku Kenkyukai
DOCUMENT TYPE: Journal
LANGUAGE: Japanese

AB Biodegradable polylactic acid (PLLA) fiber and PLLA/polycaprolactone (PCL) blend fiber was formed with tranilast by melt-spinning method, and the mech. and thermal properties of the fibers and tranilast release properties in phosphate buffer and in rats examined The results showed controllable sustained-release property of the PLLA/PCL fiber.

L11 ANSWER 8 OF 20 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:855842 CAPLUS Full-text
DOCUMENT NUMBER: 139:341838
TITLE: Aziridine compounds and their use in medical devices
INVENTOR(S): Gianolio, Diego A.; Johnston, Erika E.; Miller, Robert J.
PATENT ASSIGNEE(S): Genzyme Corporation, USA
SOURCE: PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2003089026	A1	20031030	WO 2003-US12139		20030417
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
AU 2003234140	A1	20031103	AU 2003-234140		20030417
US 2005249953	A1	20051110	US 2005-511425		20050504
PRIORITY APPLN. INFO.:			US 2002-373136P	P	20020417
			WO 2003-US12139	W	20030417

OTHER SOURCE(S): MARPAT 139:341838

AB There are disclosed novel uses of aziridine compds. The aziridine compds. can be formed into films by plasma deposition on a wide variety of substrates. The films prevent biofouling, impart biocompatible or antithrombotic properties, and can immobilize therapeutic and pharmaceutical agents to provide a drug delivery system. 2-(1-Aziridinyl)ethyl methacrylate was prepared and films were plasma deposited onto polyethylene terephthalate

sheets withing the reactor. Examples were also given for drug (tranilast) binding and release and hyaluronic acid binding to the films.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 9 OF 20 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:656048 CAPLUS Full-text
DOCUMENT NUMBER: 136:16468
TITLE: Search of a topological pattern to evaluate toxicity of heterogeneous compounds
AUTHOR(S): Garcia-Domenech, R.; De Julian-Ortiz, J. V.; Duart, M. J.; Garcia-Torrecillas, J. M.; Anton-Fos, G. M.; Rios-Santamarina, I.; De Gregorio-Alapont, C.; Galvez, J.
CORPORATE SOURCE: Unidad de Investigacion de Diseno de Farmacos y Conectividad Molecular. Dpto de Quimica Fisica, Facultad de Farmacia, Unidad de Investigacion de Diseno de Farmacos y Conectividad Molecular. Dpto de Quimica Fisica, Facultad de Farmacia, Universidad de Valencia, Valencia, 46100, Spain
SOURCE: SAR and QSAR in Environmental Research (2001), 12(1-2), 237-254
CODEN: SQERED; ISSN: 1062-936X
PUBLISHER: Gordon & Breach Science Publishers
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Mol. connectivity has been applied to the search of math. models able to predict the carcinogenic and teratogenic activity of a wide group of structurally heterogeneous compds. Through the linear discriminant anal. and the diagrams of distribution of pharmacol. activity, the classification criteria that minimizes the percentage of error are established. The easiness and speed of the calcn. of the descriptors used in this work make the models developed useful in data bases containing a huge number of compds.

REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 10 OF 20 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:326242 CAPLUS Full-text
DOCUMENT NUMBER: 134:344584
TITLE: Solubilization of tranilast and tranilast preparations with good solution stability
INVENTOR(S): Noto, Mitsuru; Oguro, Akira; Okamoto, Tomoyuki; Tatekawa, Rena
PATENT ASSIGNEE(S): Toa Medicine Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001122776	A	20010508	JP 1999-303298	19991026
PRIORITY APPLN. INFO.:			JP 1999-303298	19991026

AB Tranilast (I) or its pharmaceutically acceptable salts are dissolved in pharmaceutical prepns. with alkanolamines. The prepns. may be ophthalmic solns., nasal solns., injections, emulsions, ointments, or topical liqs. An ophthalmic solution (pH 7.6) containing 0.5% I and monoethanolamine showed 99.8% residual I after 1-mo storage at 60°.

L11 ANSWER 11 OF 20 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:469776 CAPLUS Full-text
DOCUMENT NUMBER: 132:54746
TITLE: Melt spinning of poly(caprolactone)/drug blends and drug release behavior from blend fibers
AUTHOR(S): Yamane, Hideki; Inoue, Aya; Koike, Mitsuko; Takahashi, Masaoki; Igaki, Keiji
CORPORATE SOURCE: Division of Advanced Fibro Science, Graduate School, Kyoto Institute of Technology, Kyoto, 606-8585, Japan
SOURCE: Sen'i Gakkaishi (1999), 55(6), 261-266
CODEN: SENGA5; ISSN: 0037-9875
PUBLISHER: Sen'i Gakkai
DOCUMENT TYPE: Journal
LANGUAGE: Japanese
AB Tranilast, which suppresses fibroblast hyperplasia, and poly(.vepsiln.-caprolactone) (PCL), a biodegradable polyester with a low m.p., were blended and melt spun to produce drug releasing biodegradable fibers. Thermal analyses, polarized optical microscope observation, and WAXD analyses revealed that tranilast existed as crystals in PCL solid at room temperature and dissolved in molten PCL at an elevated temperature This tranilast acted either as a crystal nucleating agent or an impurity which obstructed the crystallization of PCL depending on the tranilast content and the thermal history. Melt-spun fibers of PCL/tranilast blends had mech. properties which deteriorated with increasing tranilast contents. The drug release rate decreased with increasing drug ratio. This seems to be attributable to high crystallinity of drawn fibers.

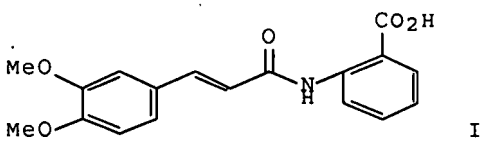
L11 ANSWER 12 OF 20 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1993:113629 CAPLUS Full-text
DOCUMENT NUMBER: 118:113629
TITLE: Crystallographic characterization and precise lattice parameter determination of tranilast (C18H17NO5)
AUTHOR(S): Paneque, A.; Duque, J.; Pomes, R.
CORPORATE SOURCE: Dep. Anal., Cent. Quim. Farm., Havana, Cuba
SOURCE: Revista Mexicana de Fisica (1992), 38(6), 886-90
CODEN: RMXFAT; ISSN: 0035-001X
DOCUMENT TYPE: Journal
LANGUAGE: Spanish
AB Tranilast is triclinic, space group P.hivin.1, with a 8.449(4), b 12.295(8), c 8.019(9) Å, α 94.23(3), β 98.76(3), and γ 94.78(3)°; dm = 1.305, dc = 1.330, Z = 2. The data were processed by the TREOR90 programs for automatic assignment of Miller indexes for the reflections obtained in powder patterns and of the PARAMETROS program for precise parameter measurements in the unit cell.

L11 ANSWER 13 OF 20 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1992:200958 CAPLUS Full-text
DOCUMENT NUMBER: 116:200958
TITLE: NMR determination of spatial structure of small molecules in solution - elucidation of three-dimensional configuration of Tranilast in acetone
AUTHOR(S): Wu, Donghui; Shen, Lianfang
CORPORATE SOURCE: Wuhan Inst. Phys., Chin. Acad. Sci., Wuhan, 430071, Peop. Rep. China
SOURCE: Wuli Huaxue Xuebao (1992), 8(1), 39-44
CODEN: WHXUEU; ISSN: 1000-6818

DOCUMENT TYPE: Journal
LANGUAGE: Chinese
AB The full relaxation matrix anal. method was applied for processing the peak intensity matrix of the phase-sensitive NOESY spectrum for which a relatively long mixing time was used for the small mols. in the extreme narrowing condition. According to this method, the cross relaxation rates between nuclei were obtained by diagonalizing the 2D peak intensity matrix, then the inter-nuclear distances were calculated This method was employed to investigate the structure of tranilast in acetone solution, and the results agree with the data from mol. mechanics calcn. There is an internal hydrogen bond in the mol.

L11 ANSWER 14 OF 20 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1991:220601 CAPLUS Full-text
DOCUMENT NUMBER: 114:220601
TITLE: A method for evaluating anti-allergic drugs by simultaneously induced passive cutaneous anaphylaxis and mediator cutaneous reactions
AUTHOR(S): Koda, Akihide; Miura, Toru; Inagaki, Naoki; Sakamoto, Osami; Arimura, Akinori; Nagai, Hiroichi; Mori, Hiroshi
CORPORATE SOURCE: Dep. Pharmacol., Gifu Pharm. Univ., Gifu, 502, Japan
SOURCE: International Archives of Allergy and Applied Immunology (1990), 92(3), 209-16
CODEN: IAAAAM; ISSN: 0020-5915
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Homologous passive cutaneous anaphylaxis (PCA) was induced by IgE antibody and, simultaneously, cutaneous reactions were induced by some allergic mediators such as histamine, serotonin and leukotriene (LT) C4 on rat back skin. Disodium cromoglycate and tranilast with inhibitory actions on mediator release inhibited PCA specifically, whereas antihistaminics, including ketotifen, azelastine, mequitazine and diphenhydramine, inhibited histamine- and serotonin-induced cutaneous reactions as well as PCA. Anti-slow-reacting substance of anaphylaxis drugs, KC-404 and FPL-55712, significantly inhibited PCA and histamine- and serotonin-induced reactions, but at the same doses they did not produce significant inhibition of the LTC4-induced reaction. All reactions tested were strongly inhibited dose dependently with the β stimulants, salbutamol and isoproterenol, and a xanthine derivative, theophylline, which are known to increase the intracellular cAMP level. This method enables the determination of the properties of anti-allergic drugs.

L11 ANSWER 15 OF 20 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1990:405578 CAPLUS Full-text
DOCUMENT NUMBER: 113:5578
TITLE: Proton, carbon-13 NMR analysis and structural identification of tranilast
AUTHOR(S): Shen, Lianfang; Hu, Jianzhi; Yuan, Hanzhen; Deng, Yuanwei; Zhu, Jie; Peng, Chongying; Tang, Weigao; Mao, Wenren
CORPORATE SOURCE: Wuhan Inst. Phys., Acad. Sin., Wuhan, Peop. Rep. China
SOURCE: Bopuxue Zazhi (1989), 6(3), 352-7
CODEN: BOZAE2; ISSN: 1000-4556
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
GI



I

AB Homo-COSY and hetero-CHCOR 2D NMR was used to analyze Tranilast, 2-[[3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]benzoic acid (I).

L11 ANSWER 16 OF 20 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1988:522186 CAPLUS Full-text
DOCUMENT NUMBER: 109:122186
TITLE: Effects of tranilast on pig skin extensibility
AUTHOR(S): Iwahira, Yoshiko; Maruyama, Yu; Kudo, Motoshige
CORPORATE SOURCE: Dep. Plast. Reconstruct. Surg., Toho Univ. Hosp., Tokyo, 143, Japan
SOURCE: Igaku no Ayumi (1988), 145(9), 641-2
CODEN: IGAYAY; ISSN: 0367-7826
DOCUMENT TYPE: Journal
LANGUAGE: Japanese
AB In pigs, tranilast (6 g/day for a mo) administration caused changes in skin; the skin became softer and more easily stretched. Epidermis showed atrophic changes and cell layers showed flattening and thinning. The dermal collagen fibers became less wavy and thinner. Skin appendages, such as hair follicles and sweat glands, were relatively well preserved.

L11 ANSWER 17 OF 20 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1987:451620 CAPLUS Full-text
DOCUMENT NUMBER: 107:51620
TITLE: Suppressive effects of tranilast (TN) on human mononuclear cells
AUTHOR(S): Yanagi, Tadamichi; Watanabe, Mikio; Fukuda, Shinpei; Tsuji, Yoshiro
CORPORATE SOURCE: Fac. Med., Nagasaki Univ., Nagasaki, Japan
SOURCE: Ensho (1987), 7(2), 169-73
CODEN: ENSHEE; ISSN: 0389-4290
DOCUMENT TYPE: Journal
LANGUAGE: Japanese
AB Tranilast (TN) is a drug with significant efficacy in allergic disorders demonstrated in the IgE mediated allergic reactions. In this study, the suppressive effects of TN on human mononuclear cells was demonstrated in vitro. TN suppressed the DNA synthesis stimulated by phytohemagglutinin, polyclonal Ig (Ig) production by pokeweed mitogen and killer T cells induction. Both lymphocytes and macrophages were affected. Release of interleukin-1 (IL-1) from macrophages and proliferation of cultured fibroblasts enhanced by IL-1 were reduced, and similar results were obtained in the expts. of interleukin-2 (IL-2) from T cells and in the proliferation of IL-2 dependent cells. These results indicate the TN has suppressive effects not only in the IgE mediated allergic reactions but in other allergic or inflammatory responses as well.

L11 ANSWER 18 OF 20 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1986:28255 CAPLUS Full-text
DOCUMENT NUMBER: 104:28255

TITLE: Drugs inhibiting chemical mediator release as remedies for allergy-related diseases
AUTHOR(S): Koda, Akihide
CORPORATE SOURCE: Dep. Pharmacol., Gifu Coll. Pharm., Gifu, 500, Japan
SOURCE: Nippon Hifuka Gakkai Zasshi (1985), 95(12), 1293-6
CODEN: NHKZAD; ISSN: 0300-9939
DOCUMENT TYPE: Journal; General Review
LANGUAGE: Japanese
AB A review with 5 refs. The mechanism of type-1 allergy reactions and inhibitors of chemical mediator release, with emphasis on tranilast [53902-12-8], are discussed.

L11 ANSWER 19 OF 20 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1985:498339 CAPLUS Full-text
DOCUMENT NUMBER: 103:98339
TITLE: Interactions of theophylline with other asthma inhibitors
AUTHOR(S): Yasuda, Kimio; Niwa, Masayuki; Tsurumi, Suketo; Fujimura, Hajime
CORPORATE SOURCE: Med. Sch., Gifu Univ., Gifu, 500, Japan
SOURCE: Rinsho Yakuri (1985), 16(1), 287-8
CODEN: RIYADS; ISSN: 0388-1601
DOCUMENT TYPE: Journal
LANGUAGE: Japanese
AB The dynamics of blood theophylline (TP) [58-55-9] concn. from orally administered TP were studied in rats receiving i.v. administered cromoglycic acid (CG) [16110-51-3] or orally administered ketotifen (KET) [34580-13-7], tranilast (TRA) [53902-12-8], and SM 857 (Sm) [64019-03-0]. Blood TP concentration was not affected by i.v. injection of CG or orally administered TRA; blood TP from orally administered TP was markedly decreased by oral administration of KET; however, blood TP concentration from i.v. injected aminophylline [317-34-0] was not changed by KET. Orally administered Sm decreased blood TP concentration in a concentration-dependent fashion. The urine volume after TP administration was not changed in the presence of DSCG and TRA, but was increased in the presence of KET and Sm. The free fraction of serum TP did not change in the presence of CG, KET, and PRA, but showed a 30% increase in the presence of Sm. The clin. significance of the interaction of TP with these tested antiasthmatics is discussed.

L11 ANSWER 20 OF 20 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1979:432731 CAPLUS Full-text
DOCUMENT NUMBER: 91:32731
TITLE: Cytogenetic effects of N-(3',4'-dimethoxycinnamoyl)anthranilic acid in cultured human embryo cells
AUTHOR(S): Iwadare, Masanori; Endo, Wakako; Kamijo, Kiyoaki
CORPORATE SOURCE: Sch. Med., Juntendo Univ., Tokyo, Japan
SOURCE: Juntendo Igaku (1978), 24(4), 441-4
CODEN: JUIZAG; ISSN: 0022-6769
DOCUMENT TYPE: Journal
LANGUAGE: Japanese
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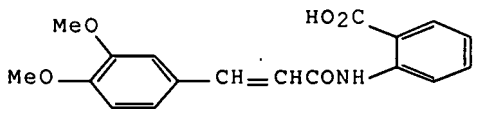

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AB N-(3',4'-Dimethoxycinnamoyl)anthranilic acid (I) [53902-12-8] at <200 μg/mL did not increase chromosome aberrations in cultured human embryonic skin cells. Apparently, the usual pharmacol. dose of I has no cytogenetic effect.